10/775,464

```
=> d his

     (FILE 'HOME' ENTERED AT 14:08:40 ON 26 MAY 2005)

     FILE 'REGISTRY' ENTERED AT 14:08:44 ON 26 MAY 2005
L1             STRUCTURE UPLOADED
L2               9 S L1 SAM
L3             146 S L1 FULL

     FILE 'CA' ENTERED AT 14:09:09 ON 26 MAY 2005
L4              13 S L3

     FILE 'MARPAT' ENTERED AT 14:09:36 ON 26 MAY 2005
L5              44 S L1 FULL

=>

---Logging off of STN---


=>
Executing the logoff script...


=> LOG Y
STN INTERNATIONAL LOGOFF AT 14:10:29 ON 26 MAY 2005
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=> d l1
L1 HAS NO ANSWERS
L1                STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.


=> s l1 full
L3            146 SEA SSS FUL L1

=> file ca


=> s l3
L4             13 L3

=> d ibib abs fhitstr 1-13
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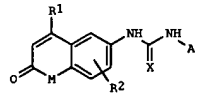
L4 ANSWER 1 OF 13 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:207071 CA
TITLE: Preparation of quinoline and chromene urea and thiourea derivatives as androgen receptor antagonists
INVENTOR(S): Du, Daniel Yunlong; Procter, Martin James; Fyfe, Matthew Colin Thor; Shah, Vilasben Kanji; Williams, Geoffrey Martyn; Schofield, Karen Lesley
PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004072044	A2	20040826	WO 2004-IB295	20040130
WO 2004072044	A3	20041111		
W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004266820	A1	20041230	US 2004-775464	20040210

PRIORITY APPLN. INFO.: US 2003-446409P P 20030211
OTHER SOURCE(S): MARPAT 141:207071
GI

L4 ANSWER 1 OF 13 CA COPYRIGHT 2005 ACS on STN (Continued)



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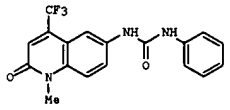
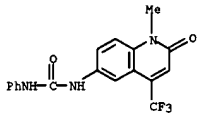


II

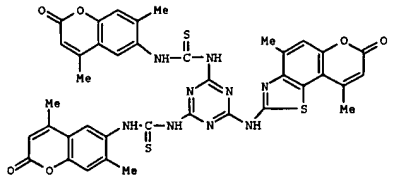
AB The title compds. I [M = NZ or O; Z = H, alkyl; R1 = H, alkyl, optionally substituted with one or more halogens, or alkoxy, optionally substituted with one or more halogens; R2 = absent or may represent up to 2 substituents selected from halo, CN, OH, alkyl, alkenyl, alkynyl, alkoxy, etc.; X = O or S; A = H, alkyl, alkenyl, alkynyl, etc.] were prepared as androgen receptor antagonists for the treatment of alopecia, acne, oily skin, prostate cancer, hirsutism, and benign prostate hyperplasia. For example, reaction of 6-amino-1-methyl-4-trifluoromethyl-1H-quinoline-2-one (preparation given) with Ph isocyanate yielded compound II.

IT **743467-59-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Preparation of urea and thiourea derivs. as androgen receptor antagonists)

RN 743467-59-6 CA
CN Urea, N-[1,2-dihydro-1-methyl-2-oxo-4-(trifluoromethyl)-6-quinolinyl]-N'-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 13 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 139:52981 CA
TITLE: Synthesis of biologically active thiazolo-benzopyranyl-s-triazine derivatives
AUTHOR(S): Mulwad, V. V.; Shirodkar, Jyoti M.
CORPORATE SOURCE: Dept. of Chemistry, Institute of Science, Mumbai, 400 032, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (2003), 42B(3), 621-626
CODEN: IJSBDB; ISSN: 0376-4699
PUBLISHER: National Institute of Science Communication
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:52981
GI



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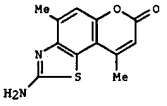


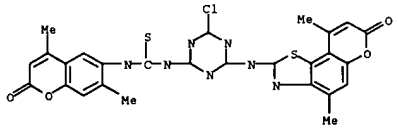
II

AB Several thiazolo-benzopyranyl triazines, e.g. I, were prepared via oxidative cyclisation of aminocoumarins and condensation of the intermediate, e.g. II, with cyanuric chloride and thioureido-benzopyranone and evaluated for their antibacterial activity.

IT **546144-89-2P**
RL: BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of thiazolo-benzopyranyl triazines via oxidative cyclisation of aminocoumarins and condensation with cyanuric chloride and thioureido-benzopyranone as antibacterial agents)

RN 546144-89-2 CA
CN Thiourea, N-[4-chloro-6-[(4,9-dimethyl-7-oxo-7H-pyrano[2,3-g]benzothiazol-2-yl)amino]-1,3,5-triazin-2-yl]-N'-(4,7-dimethyl-2-oxo-2H-1-benzopyran-6-yl)- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 13 CA COPYRIGHT 2005 ACS on STN (Continued)



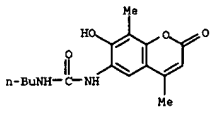
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 13 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 124:331691 CA
TITLE: Synthesis of trioxoperhydroimidazolyl benzopyrones with hypnotic activity
AUTHOR(S): El-Ansary, S. L.; Soliman, G. A.
CORPORATE SOURCE: Faculty Pharmacy, Cairo University, Cairo, Egypt
SOURCE: Egyptian Journal of Pharmaceutical Sciences (1995), 36(1-6), 219-33
CODEN: EJPSBZ; ISSN: 0301-5068
PUBLISHER: National Information and Documentation Centre
DOCUMENT TYPE: Journal
LANGUAGE: English

AB 5-Amino-6-hydroxy-4,7-dimethyl-2H-1-benzopyran-2-one and 6-amino-7-hydroxy-4,8-dimethyl-2H-1-benzopyran-2-one add substituted isocyanates to give the N,N-disubstituted ureas that can be cyclized by the use of oxalyl chloride to the corresponding imidazolyl-2,4,5-triones. Some of the synthesized compds. have been screened for CNS depressant and hypnotic activities. The administration of some of these products at a dose of 20 mg/kg body-weight showed CNS depressant activity, but in a dose of 40 mg/kg body-wt exhibited hypnotic effect. Some derivs. inhibit the growth of Salmonella typhi and Escherichia coli.

IT **176913-89-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation of trioxoperhydroimidazolyl benzopyrones with hypnotic activity)

RN 176913-89-6 CA
CN Urea, N-butyl-N'-(7-hydroxy-4,8-dimethyl-2-oxo-2H-1-benzopyran-6-yl)- (9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 13 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 102:140692 CA
TITLE: Silver halide photographic material
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

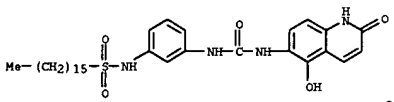
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 59164554	A2	19840917	JP 1983-37905	19830308
JP 03068369	B4	19911028		
PRIORITY APPLN. INFO.:			JP 1983-37905	19830308

GI For diagram(s), see printed CA Issue.

AB Claimed photog. material contains a cyan coupler of the formula I (R = substituted or unsubstituted alkyl, aryl, heterocyclic group; R1 = group released in the coupling reaction with oxidized developing agent; A = 5- or 6-membered heterocyclic ring). The coupler forms a cyan with an improved image stability and suitable spectral characteristics. It also provides an adequate color d. even when relatively weak or exhausted bleach is used during processing. Thus, a film containing Ag(Br,Cl) emulsion containing the cyan coupler I (R = 4-(N,N-di-N-octylaminosulfo)phenyl; R1 = Cl; A = pyridine ring fused at the 2,3-position) was processed by a typical color paper formula. The obtained cyan dye had the maximum absorption at 668 nm and was stable under the conditions of both thermal fading and light-fading tests.

IT **95651-25-5**
RL: TEM (Technical or engineered material use); USES (Uses)
(photog. cyan coupler)

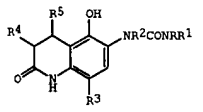
RN 95651-25-5 CA
CN 1-Hexadecanesulfonamide, N-[3-[[[(1,2-dihydro-5-hydroxy-2-oxo-6-quinolinyl)amino]carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)



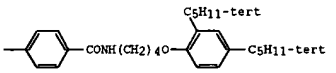
L4 ANSWER 5 OF 13 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 99:149514 CA
TITLE: Silver halide photosensitive material
PATENT ASSIGNEE(S): Konishiroku Photo Industry Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 58102936	A2	19830618	JP 1981-203054	19811215
JP 63013176	B4	19880324		
PRIORITY APPLN. INFO.:			JP 1981-203054	19811215

GI



I



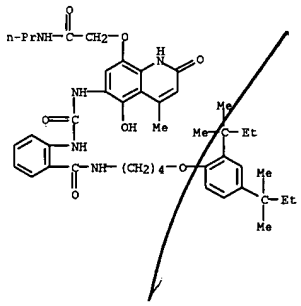
II

AB A Ag halide material for color photog. has ≥1 Ag halide emulsion layer and contains a cyan coupler which is a 5-hydroxy-2(1H)-quinoline or 5-hydroxy-3,4-dihydro-2(1H)-quinoline derivative having a ureido group at the 6 position. These couplers have narrower absorption peaks at wavelengths more suitable for color photog. than known ones. Thus, the coupler I (R = II; R1, R2, R4 = H; R3 = Cl; R5 = Me) was added to a Ag(Br,I) emulsion which was then coated on cellulose acetate support. Upon sensitometric exposure and normal development, the resultant film showed both an improved sensitivity and γ value.

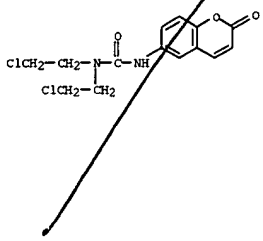
IT **87046-94-4**
RL: TEM (Technical or engineered material use); USES (Uses)
(photog. cyan coupler)

RN 87046-94-4 CA
CN Benzamide, N-[4-[2,4-bis(1,1-dimethylpropyl)phenoxy]butyl]-2-[[[[1,2-dihydro-5-hydroxy-4-methyl-2-oxo-8-[2-oxo-2-(propylamino)ethoxy]-6-quinolinyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 13 CA COPYRIGHT 2005 ACS on STN (Continued)

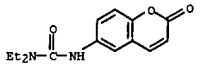


L4 ANSWER 6 OF 13 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 67:99948 CA
TITLE: Synthesis of potential anticancer agents. XVIII. Nitrogen mustards from 6-substituted coumarins
AUTHOR(S): Elderfield, Robert C.; Roy, J.
CORPORATE SOURCE: Univ. of Michigan, Ann Arbor, MI, USA
SOURCE: Journal of Medicinal Chemistry (1967), 10(5), 918-21
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB cf. CA 61: 11925d. A variety of alkylating agents was prepared with 6-aminocoumarin or coumarin-6-carboxylic acid residues as the carrier moiety. Of these, 6-[3-bis(2-chloroethylamino)propionamido]coumarin (I) showed some carcinostatic activity and 6-[p-[N,N-bis(2-methylsulfonoxyethyl)amino]benzylideneamino]coumarin (II) showed pronounced activity against the Walker 256 carcinosarcoma. II also showed considerable activity against KB cells in cell culture cytotoxicity and some activity against leukemia L1210. 29 references.
IT **15991-01-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antineoplastic activity of)
RN 15991-01-2 CA
CN Coumarin, 6-[3,3-bis(2-chloroethyl)ureido]- (8CI) (CA INDEX NAME)

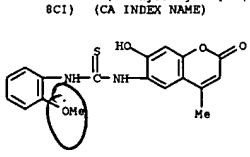


L4 ANSWER 7 OF 13 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 65:3893 CA
ORIGINAL REFERENCE NO.: 65:677c-h
TITLE: Coumarins. VI. Preparation of coumarinylureas
AUTHOR(S): Rep-pel, L.; Schmollack, W.
CORPORATE SOURCE: Martin Luther Univ., Halle-Wittenberg, Germany
SOURCE: Pharmazie (1966), 21(1), 30-6
CODEN: PHARAT; ISSN: 0031-7144
DOCUMENT TYPE: Journal
LANGUAGE: German
GI For diagram(s), see printed CA Issue.
AB cf. CA 63, 8302e. Coumarinylureas were prepared by two methods. Method A: 3-coumarinyl isocyanate (I) (0.75 g.) was refluxed 5 min. in 100 ml. 5% alc. NH3 and the mixture cooled to give 78% 3-coumarinylurea, m. 245-6°. Similarly prepared was 77% 6-coumarinylurea (II), m. 326-7°. Method B: II was also prepared (56%) by treating 3.2 g. 6-aminocoumarin with 2 g. 37% aqueous HCl, a few ml. H2O, and 1.6 g. KNCO to give a crystalline paste. Similarly prepared was 47% 8-coumarinylurea (III), m. 312° (decomposition). III (74%) was also prepared by method A, as was 78% 6-nitro-3-coumarinylurea, m. 291-3°, and 84% 8-nitro-3-coumarinylurea, m. 263-5°. I, or its 6- or 8-isomer (0.005 mole), was dissolved in 50 ml. anhydrous PhMe and the solution refluxed with 0.01 mole amine 30 min. to give N,N-dialkyl-N'-coumarinylureas (IV) (EtOH). Aromatic or cyclic amine (0.005 mole) heated with 0.005 mole I in 50 ml. PhMe 2.5 hrs. at 120° also gave IV. The N-phenyl-N'-coumarinylureas could also be prepared from the aminocoumarins and PhNCO. The following IV were prepared (method, urea-chain position, R1, R2, m.p., and % yield given): A, 3, Me, Me, 182-4°, 66; A, 3, Et, Et, 76-8°, 83; A, 3, Pr, Pr, 43-5°, 41; A, 3, Bu, Bu, 37-9°, 43; A, 3, iso-Pr, iso-Pr, 99-100°, 83; A, 3, iso-Bu, iso-Bu, 59-61°, 83; A, 6, Me, Me, 192-4°, 87; A, 6, Et, Et, 119-20°, 79; A, 6, Pr, Pr, 141-2°, 76; A, 6, Bu, Bu, 72-4°, 68; A, 6, iso-Pr, iso-Pr, 144-5°, 89; A, 6, iso-Bu, iso-Bu, 163-4°, 73; A, 8, Me, Me, 143-5°, 76; A, 8, Et, Et, 59-60°, 65; A, 8, Pr, Pr, 81-2°, 84; A, 8, iso-Pr, iso-Pr, 102°, 81; A, 8, iso-Bu, iso-Bu, 72-3°, 67; B, 3, H, Ph, 272-4°, 64; B, 3, Me, Ph, 116-17°, 86; B, 3, Et, Ph, 108-9°, 79; B, 3, (NR1R2 =) piperidino, 105-7°, 78; B, 3, (NR1R2 =) morpholino, 215-17°, 83; B, 3, (NR1R2) pyrrolidino, 179-80°, 68; B, 6, H, Ph, 229-31°, 81; B, 6, Me, Ph, 140-2°, 92; B, 6, Et, Ph, 113-14°, 89; B, 6, (NR1R2 =) piperidino, 204-6°, 82; B, 6, (NR1R2 =) morpholino, 168-9°, 86; B, 6, (NR1R2 =) pyrrolidino, 212-13°, 74; B, 8, H, Ph, 259-60°, 85; B, 8, Me, Ph, 169-70°, 79; B, 8, Et, Ph, 132-3°, 83; B, 8, (NR1R2 =) piperidino, 89-91°, 83; B, 8, (NR1R2 =) morpholino, 204-6°, 87; B, 8, (NR1R2 =) pyrrolidino, 127-9°, 68. The following nitro-3-coumarinylureas were similarly prepared (method, position of NO2 group, R1, R2, m.p., and % yield given): A, 6, Me, Me, 191-3°, 72; A, 6, Et, Et, 194-6°, 85; A, 6, Pr, Pr, 141-2°, 83; A, 6, Bu, Bu, 102-3°, 76; A, 6, iso-Pr, iso-Pr, 171-2°, 89; A, 6, iso-Bu, iso-Bu, 83-5°, 82; A, 8, Me, Me, 207-9°, 78; A, 8, Et, Et, 154-5°, 81; A, 8, Pr, Pr, 138-40°, 76; A, 8, Bu, Bu, 125-6°, 63; A, 8, iso-Pr, iso-Pr, 175-6°, 87; A, 8, iso-Bu, iso-Bu, 154-5°, 74; B, 6, H, Ph, 312-14°, 68; B, 6, Me, Ph, 180-1°, 84; B, 6, Et, Ph,

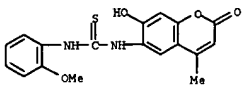
L4 ANSWER 7 OF 13 CA COPYRIGHT 2005 ACS on STN (Continued)
100-2°, 81; B, 6, (NR1R2 =) piperidino, 189-90°, 78; B, 6, (NR1R2 =) morpholino, 205-6°, 87; B, 6, (NR1R2 =) pyrrolidino, 175-7°, 36; B, 8, H, Ph, 284-5°, 84; B, 8, Me, Ph, 234-6°, 76; B, 8, Et, Ph, 218-20°, 78; B, 8, (NR1R2 =) piperidino, 169-71°, 69; B, 8, (NR1R2 =) morpholino, 236-8°, 79; B, 8, (NR1R2 =) pyrrolidino, 242-3°, 68.
IT **6513-61-7**, Coumarin, 6-(3,3-diethylureido)-
(preparation of)
RN 6513-61-7 CA
CN Coumarin, 6-(3,3-diethylureido)- (7CI, 8CI) (CA INDEX NAME)



L4 ANSWER 8 OF 13 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 63:62889 CA
ORIGINAL REFERENCE NO.: 63:11482d-g
TITLE: New synthesis of organic iodo derivatives. 4-Hydroxycoumarin and related products
AUTHOR(S): Covello, Mario; Abignente, Enrico; Dini, Antonio
CORPORATE SOURCE: Univ. Naples
SOURCE: Annali di Chimica (Rome, Italy) (1965), 55(3), 239-52
CODEN: ANCRAI; ISSN: 0003-4592
DOCUMENT TYPE: Journal
LANGUAGE: Italian
GI For diagram(s), see printed CA Issue.
AB cf. CA 60, 13217c. New iodo derivs. similar in structure to dicoumarol, biologically active, were prepared. Thus, 2.9 g. 4-hydroxy-6-iodocoumarin (I), 50 ml. alc., and 100 ml. 0.1N NaOH was kept with 6 hrs. with 1.5 g. Cl2CHCO2Et to give 2.7 g. II (R = CO2Et), m. 249-50°. I (0.01 mole) in 50 ml. alc. was kept 5 hrs. with methylglyoxal to give 76% II (R = Ac) m. 215-16°. Similarly prepared were the following II (R, % yield, and m.p. given): (CH2)2SMe, 75, 250-1°; 2-furyl, 55, 231-3°; 1-naphthyl, 74, 210-12°. Also prepared were the following III (same data given): CO2Et, 87, 282-2.5°; Ac, 79, 255°; (CH2)2SMe, 82, 277-8°; 2-furyl, 66, 275-6°; 1-naphthyl, 78, 214-15°. I (0.01 mole) and 1 g. Zn powder mixed and treated with 32 ml. SOCl2 gave 83% IV, m. 300-1° (decomposition). Similarly prepared was 79% V, m. 352-5° (decomposition). 4-Hydroxy coumarin (16.2 g.) in 100 ml. 20% aqueous NH3 was treated with 25.3 g. solution (prepared from 0.1 mole iodine, 50 g. KI, and 200 ml. H2O) and the precipitate worked up to give 75% 3-iodo-to 4-hydroxycoumarin, m. 152-3° (decomposition). Similarly prepared was the 6-iodo derivative, m. 200-2° (decomposition), in 82% yield and the 3,6,8-triiodo analog, m. 274-5° (decomposition), in 85% yield.
IT **3287-30-7**, Coumarin, 7-hydroxy-6-[3-(o-methoxyphenyl)-2-thioureido]-4-methyl-
(preparation of)
RN 3287-30-7 CA
CN Coumarin, 7-hydroxy-6-[3-(o-methoxyphenyl)-2-thioureido]-4-methyl- (7CI, 8CI) (CA INDEX NAME)



L4 ANSWER 9 OF 13 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 63:62888 CA
ORIGINAL REFERENCE NO.: 63:11482c-d
TITLE: Thioureas from 6-amino- and 8-amino-7-hydroxy-4-methylcoumarins
AUTHOR(S): Kumar, Satyendra; Mathur, T. C.; Joshi, S. S.
CORPORATE SOURCE: Coll. Meerut
SOURCE: J. Indian Chem. Soc. (1965), 42(6), 423-4
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB The title compds. (I, II) which combine the pharmacologically active coumarin and thiourea moieties, were prepared by refluxing equimolar amts. of aminocoumarin and arylthiourea. Prepared were (R, parent compound, m.p. (uncor.) given: Ph, I, 190°; Ph, II, 300°, 2-CH3C6H4, I, 185°; 2-CH3C6H4, II, 257°; 3-CH3C6H4, I, 270°, 3-CH3C6H4, II, 268°; 4-CH3C6H4, I, 268°, 4-CH3C6H4, II, 182°; 2-ClC6H4, I, 197°; 2-ClC6H4, II, 192°; 4-ClC6H4, I, 170°; 4-ClC6H4, II, >300°; 2-CH3OC6H4, I, 230°; 2-CH3OC6H4, II, 246°; 4-CH3OC6H4, I, 175°; 4-CH3OC6H4, II, 280°.
IT **3287-30-7**, Coumarin, 7-hydroxy-6-[3-(o-methoxyphenyl)-2-thioureido]-4-methyl-
(preparation of)
RN 3287-30-7 CA
CN Coumarin, 7-hydroxy-6-[3-(o-methoxyphenyl)-2-thioureido]-4-methyl- (7CI, 8CI) (CA INDEX NAME)

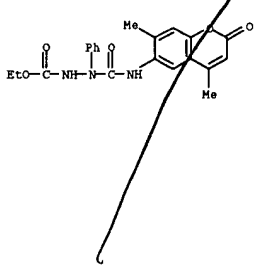


L4 ANSWER 10 OF 13 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 60:16778 CA
ORIGINAL REFERENCE NO.: 60:2949f-h,2950a-d
TITLE: Blood-pressure-lowering urazoles
INVENTOR(S): Ruschig, Heinrich; Schmitt, Karl; Driesen, Gerd; Ther, Leopold; Pfaff, Werner
PATENT ASSIGNEE(S): Farbwerke Hoechst A.-G.
SOURCE: 9 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
PATENT INFORMATION:

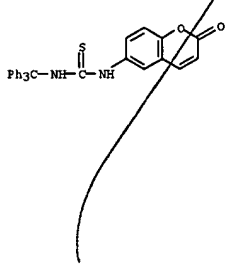
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1153759		19630905	DE	19600720
GB 989627			GB	
US 3184470		1965	US	

GI For diagram(s), see printed CA Issue.
AB A series of 3,5-dioxo-1,2,4-triazolidines (I), where R and R' are aryl groups, was prepared PhN(CO2Et)NHCOCl (II) (34 g.), 19 g. 2,4,5-Me3C6H2NH2, and 17 g. Me2NPh in 200 mL. EtOH heated 1 h. at 50-60° and the resulting solution of PhN(CO2Et)NHCONHC6H2Me3--2,4,5 heated 2 h. at 50-80° with 200 mL. 2N NaOH gave 37.5 g. 1phenyl-4-(2,4,5-trimethylphenyl)-3,5-dioxo-1,2,4-triazolidine, m. 205-6° (EtOH), converted to the Na salt with NaOMe. Similarly were prepared the following I [R, R', and m.p. given, resp. (compds. recrystd. from EtOH unless otherwise given)]: Ph, 2,4-ClMeC6H3, 163-5°; Ph, 2-propyl-4,5-methylenedioxyphenyl 160-2° (EtOAc-petr.ether); Ph, 2,4,5-ClMe2C6H2, 1989°; Ph, 2,4-Me(BuO)C6H3, 175-6°; Ph, 2,4,5-Me2(O2N)C6H2, 237-9°; Ph, 5,2,4-MeCl2C6H2, 220-2°; Ph, 4,2,5-MeCl2C6H2, 218-20°; Ph, 2-methyl-4-cyclohexylphenyl, 215-17°; Ph, 4,2,5-iso-PrCl2C6H2, 211-13°; Ph, 2,5-(EtO)2C6H3, 124-6° (aqueous EtOH); Ph, 4,2,5-Cl(MeO)2C6H2, 237-8° (aqueous HCONMe2); Ph, 2,4-Me(MeO)C6H3, 173-4°; Ph, 5,2-Me(MeO)C6H3, 184-6°; Ph, 4,5,2-ClMe(MeO)C6H2, 188-9°; Ph, 4,5,2-Me2(MeO)C6H2, 200-3°; Ph, 5,2,4-iso-Pr(MeO)2C6H2, 205-6°; Ph, 2,4-Me(PhO)C6H3, 167-8°; Ph, 2,4,6,3,5-Me3Ac2C, 205-6°; Ph, 2,4Me(Ph2CH)C6H3, 205-7°; Ph, 4-(2,6-dimethyl-4-cyclohexyl-phenyl), 213-15°; Ph, 2,4,5-Me(MeO)(tert-Bu)C6H2, 254-6°; Ph, 2,5-Me2C6H3, 198-9°, Ph, 2,5-Me(MeO)C6H3, 175-6°; Ph, 4,2,5-Cl(EtO)2C6H2, 169-70°; (EtOAc-petr. ether); Ph, 4,2,5-PhO(MeO)2C6H2, 210-12°; Ph, 2,5-MeO(PhSO2)C6H3, 208-10°; Ph, 2,4-Cl(EtO)C6H3, 133-5°; Ph, 3,4,2,5-Me2(Me-O)2C6H, m. 197-9°; Ph, 5,2-Me(PhCH2O)C6H3, 152-3° (Me2-CO-petr. ether); Ph, 4,2-PhS(MeO)C6H3, 158-60°; Ph, 2,4-Cl(iso-PrO)C6H3, 183-5°; Ph, 2,4-PhO(MeO)C6H3, 182-4° Ph 2,4-(PhO)2C6H3, 162-3° (MeOH); Ph, 5.2,4-IMe2C6H2, 208-10°; Ph, 2,4-Cl(MeO)C6H3, 173-5° (aqueous EtOH); Ph, 2-methoxy-4-(2-methoxyphenoxy)phenyl, 217-18°; Ph, 4,2,5-PhO(EtO)2C6H2, 150-1°; Ph, 2,4-(MeO)2C6H3, 172-4°; Ph, 2,4-Cl(C6H13O)C6H3, 157-9°; Ph, 2,4-Cl(HOCH2CH2O)C6H3(, 100-2° (aqueous EtOH); Ph, 5,2-Me3CCH2CMe2(MeO)C6H3, 123-5° (C6H6petr. ether); Ph, 2,5-MeO(EtSO2)C6H3, 212-13°; Ph, 2,5-Cl(F3C)C6H3, 177-9°; Ph, 2-methyl-4-(m-methoxybenzyloxy)-Ph, 124-6°; Ph, 2,5-MeO(PhO)C6H3, 183-5°; Ph, 2,4,5Me2(PhO)C6H2, 131-3°; Ph, 5,2,4-Me(MeO)(iso-PrO)C6H2, 203-6°; Ph, 4,2,5-Me2(PhO)C6H2,

L4 ANSWER 10 OF 13 CA COPYRIGHT 2005 ACS on STN (Continued)
219-22°; Ph, 2,5,4-Me2(iso-PrO)C6H2, 178-80°; Ph, 2-methyl-4-(2,4,6-trimethylphenoxy)phenyl, 230-3°; Ph, 2,4-Me(iso-PrO)C6H3, 190-2°; Ph, 2,4,6,3-Me3(MeO)C6H, 130-2°; Ph, 2,4,6,3-Me3(iso-PrO)C6H, 135-7° (iso-PrOH); 2-MeC6H4, 2,4,6-Me3C6H2, 160-1° (EtOAc-petr. ether); 2-MeC6H4, 2,4-Me2C6H3, 135-6° (Et2O-petr. ether); 2,4-Me2C6H3, 2,4-Me2C6H3, 127-9° (EtOAc-petr. ether); 3-MeC6H4, 2,4,6-Me3C6H2, 150-1° (MeOH); 2,4-Me(MeO)C6H3, 2,4,6-Me3C6H2, 193-5°; 4-ClC6H4, 4,2,5-Cl(MeO)2C6H2, 243-5° (aq. HCONMe2); Ph, 2,5-(MeO)2C6H3, 196-8°; Ph, 2,4-Me2C6H3, 188-9°; Ph, 2,4,6-Me3C6H2, 153-4°; β-naphthyl, 2,5,4-Me2-(PhO)C6H2, 184-6° (MeOH); β-naphthyl, 2,4,6-Me3C6H2, 2503° (MeOH). By analogous procedures were prepd. 2-(1-phenyl-3,5-dioxo-1,2,4-triazolidin-4-yl)-3-methoxydiphenylene oxide, m. 278-80° (HCONMe2-EtOH), 2-[1-(4-chlorophenyl)-3,5-dioxo-1,2,4-triazolidin-4-yl]-3-methoxydiphenylene oxide, m. 268-70°, and 6-(1-phenyl-3,5-dioxo-1,2,4-triazolidin-4-yl)-4,7-dimethylcoumarin Na salt [prepd. from Et 2-phenyl-4-(4,7-dimethyl-6-coumarinyl)semicarbazide-1-carboxylate, m. 227-8°]. Novel starting materials included 5-ethoxy-3-phenyl-1,3,4-oxadiazole, mm. 72° (prepd. from II and NH3), and 1-phenyl-4-(2,4,6-tri methylphenyl)-3-oxo-5-thio-1,2,4-triazolidine, m. 235-7° [prepd/from PhN(CO2Et)NHCSOCl and mesidine].
IT **100658-80-8**, Carbazic acid, 3-[(4,7-dimethyl-2-oxo-2H-1-benzopyran-6-yl)carbamoyl]-3-phenyl-, ethyl ester
(preparation of)
RN 100658-80-8 CA
CN Carbazic acid, 3-[(4,7-dimethyl-2-oxo-2H-1-benzopyran-6-yl)carbamoyl]-3-phenyl-, ethyl ester (7CI) (CA INDEX NAME)



L4 ANSWER 11 OF 13 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 57:36036 CA
ORIGINAL REFERENCE NO.: 57:7138c-e
TITLE: Thermochemical studies of some alcohol-isocyanate reactions
AUTHOR(S): Lovering, Edward G.; Laidler, Keith J.
CORPORATE SOURCE: Univ. Ottawa
SOURCE: Canadian Journal of Chemistry (1962), 40, 26-30
CODEN: CJCHAG; ISSN: 0008-4042
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB n-, iso-, and sec-BuOH were treated with PhNCO, the three tolyl isocyanates, and 2,4-tolylene diisocyanate, and the m.p.s. of the resulting 15 urethanes recorded. The heats of reaction were measured at 25° using a differential calorimeter of the Tian-Calvert type. From a consideration of substituent effects, the heat of reaction and therefore the stability of the resulting urethanes, was expected to decrease in the order n- > iso- > sec-alcs. for each isocyanate. For each alc., the heats of reaction were expected to decrease in the order PhNCO > p-tolylisocyanate > o-tolylisocyanate. These expectations were confirmed exptl. The urethans of m-tolyl isocyanate were liquid at 25° and could not be compared with the others. From bond energy considerations, the heat of formation of PhNCO/(liquid, 25°) was estimated as 3.5 kcal./ mole and that of the tolyl isocyanates (liquid, 25°) as -5.3 kcal./mole.
IT **96809-12-0**, Coumarin, 6-(2-thio-3-tritylureido)-
(preparation of)
RN 96809-12-0 CA
CN Coumarin, 6-(2-thio-3-tritylureido)- (7CI) (CA INDEX NAME)



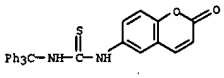
L4 ANSWER 12 OF 13 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 57:36035 CA
ORIGINAL REFERENCE NO.: 57:7138b-c
TITLE: Synthesis of thioureidotriphenylmethanes
AUTHOR(S): Shah, P. R.; Trivedi, J. P.
CORPORATE SOURCE: St. Xavier's Coll., Ahmedabad, India
SOURCE: Current Science (1961), 30, 415-16
CODEN: CUSCAM; ISSN: 0011-3891
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB The following Ph3CNHCSNHR, derived from the condensation of Ph3CNCS with different amines, were prepared (R and m.p. given): Ph, 82°; p-MeC6H4, 156-8°; p-MeOC6H4, 152-3°; o-MeOC6H4, 162°; α-C10H7, 80°; β-C10H7, 157-8°; p-NCSC6H4, 80°; p-Me2NC6H4,80°; PhNH, 130°; HO2CCH2, 130°; 6-coumaryl, 76-7°; PhZN, 130°.

IT **96809-12-0**, Coumarin, 6-(2-thio-3-tritylureido)- (preparation of)

RN 96809-12-0 CA

CN Coumarin, 6-(2-thio-3-tritylureido)- (7CI) (CA INDEX NAME)



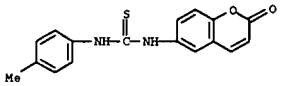
L4 ANSWER 13 OF 13 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 55:33063 CA
ORIGINAL REFERENCE NO.: 55:6475f-g
TITLE: Synthesis of coumarylthioureas
AUTHOR(S): Satpanthi, P. S.; Trivedi, J. P.
CORPORATE SOURCE: St. Xavier's Coll., Ahmedabad
SOURCE: Current Science (1960), 29, 346
CODEN: CUSCAM; ISSN: 0011-3891
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB Coumarin was nitrated and reduced to give 6-aminocoumarin, which was condensed with RNHCSNH2 by the method of Buu-Hoi, et al. (CA 50, 3406i), to give N'-(6-coumarinyl)-N-substituted thiourea (substituent and m.p. given): Ph, 168°; p-MeC6H4, 134-5°; o-ClC6H4, 170°; m-ClC6H4, 245° (decomposition); o-MeOC6H4, 112°; p-BuOC6H4, 135°; p-C6H13OC6H4, 111°; PhCHMe, 160°; PhCO, 190°; PhCH2, 185-6°; o-ClC6H4CH2, 200°; p-ClC6H4CH2, 216°; o-BrC6H4CH2, 160°; p-BrC6H4CH2, 196°; m-MeC6H4CH2, 174°; 2,4-Me2C6H3CH2, 190°; 2,5-Me2C6H3CH2, 188°.

IT **101444-67-1**, Coumarin, 6-(2-thio-3-p-tolylureido)- (preparation of)

RN 101444-67-1 CA

CN Coumarin, 6-(2-thio-3-p-tolylureido)- (6CI) (CA INDEX NAME)



10/775,464

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L5 44 SEA SSS FUL L1

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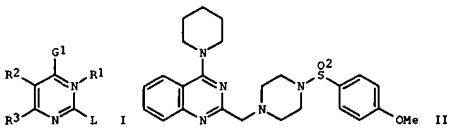
L5 ANSWER 1 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 142:74614 MARPAT
TITLE: Preparation of pyrimidine derivatives as modulators of ATP-binding cassette transporters
INVENTOR(S): Makings, Lewis R.; Singh, Ashvani K.; Miller, Mark T.; Hadida Ruah, Sarah S.; Grootenhuis, Peter; Hamilton, Matthew; Hazelwood, Anna R.; Huang, Liming
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 432 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004111014	A1	20041223	WO 2004-US17673	20040604
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005059687	A1	20050317	US 2004-862909	20040607

PRIORITY APPLN. INFO.:
US 2003-476698P 20030606
US 2003-500132P 20030904
US 2003-520181P 20031114
WO 2004-US17673 20040604

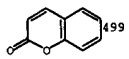
GI



AB The present invention relates to compds. I [G1 = O, RA, ORA, SRA, NRARB (wherein RA, RB = VRV, or NRARB = (un)substituted 3-12 membered (un)saturated monocyclic or bicyclic ring having 0-4 heteroatoms selected from N, O, or S; V = a bond, alkylidene wherein up to two methylene units of V are optionally replaced by CO, CS, COCO, etc.; RV = halo, NO2, CN, etc.); R1 = absent, YRY (Y = a bond, alkylidene wherein up to two methylene units of Y are optionally replaced by CO, O, S, etc.; RY = halo, NO2, CN, etc.); R2, R3 = TR2, or R2 and R3, taken together, form (un)substituted 5-6 membered monocyclic aryl having 0-5 heteroatoms selected from N, O, or S, 5-6 membered (un)satd. monocyclic ring having 0-3 heteroatoms selected from N, O, or S (T = a bond, alkylidene wherein up to two methylene units of T are optionally replaced by CO, CS, COCO, etc. RZ = halo, NO2, CN, etc.); L = G2BG3Ar1 (G2, G3 = absent, alkylidene wherein up to two methylene units are optionally replaced by CO, CS, SO, etc.; B = absent, (un)substituted aryl, heteroaryl, cycloalkyl, etc.; Ar1 = absent, (un)substituted 3-8 membered (un)satd. monocyclic ring having 0-3 heteroatoms, 8-12 membered (un)satd. bicyclic ring having 0-5 heteroatoms)] as modulators of ATP-Binding Cassette ("ABC") transporters or fragments thereof, including Cystic Fibrosis Transmembrane Regulator ("CFTR"), compns. thereof, and methods therewith. E.g., a multi-step synthesis of the quinazoline II, is described. The compds. I are useful as modulators of ATP binding cassette transporters (the EC50 and relative efficacy for 405 compds. I were given). The present invention also relates to methods of treating ABC transporter mediated diseases such as cystic fibrosis using the modulators I.

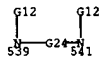
MSTR 1A

G14 = 499



G22 = 536-533 537-143

G23 = 539-532 541-534



G24 = (1-4) CH2 (SO)
MPL: claim 1

L5 ANSWER 1 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
NTE: or pharmaceutically acceptable salts
NTE: substitution is restricted
NTE: heteroatom functional group interruptions also claimed

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:350049 MARPAT
TITLE: Preparation of (hetero)arylurea derivatives as deformylase inhibitors with antibacterial activity
INVENTOR(S): Lee, Bong-Jin; Lee, Seung-Kyu; Choi, Kwang-Hyun; Lee, Sang-Jae
PATENT ASSIGNEE(S): Promeditech Inc., S. Korea
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087643	A1	20041014	WO 2004-KR502	20040311
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

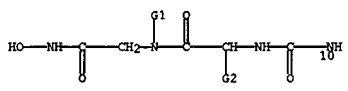
PRIORITY APPLN. INFO.: KR 2003-20486 20030401

AB The title compds. HONHCOCH2N(R1)COCH(R2)NHCONHX (I) [R1 = C1 to C6 alkyl, or C1 to C2 alkyl substituted with C3 to C6 cycloalkyl group; R2 = C1 to C6 alkyl; X = Ph, etc.] are prepared The title deformylase inhibitors effectively act against a broad spectrum of bacteria, including bacteria with resistance to existing antibacterial agents. A process for preparing I is disclosed. Thus, 1-((S)-1-(N-((hydroxycarbamoyl)methyl)-N-butylcarbamoyl)-2,2-dimethylpropyl)-3-(3-chlorophenyl)urea (II) was prepared in a multistep process starting from glycine Et ester hydrochloride and 1-bromobutane. II in vitro showed IC50 of 28 nM against deformylase.

MSTR 1

G5—G3

G3 = quinolinyl (SO (1-3) G4)
G4 = OH
G5 = 10



MPL: claim 1
NTE: also incorporates claims 5, 6, and 7
NTE: or pharmaceutically acceptable salts

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

L5 ANSWER 2 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:207071 MARPAT
TITLE: Preparation of quinoline and chromene urea and thiourea derivatives as androgen receptor antagonists
INVENTOR(S): Du, Daniel Yunlong; Procter, Martin James; Fyfe, Matthew Colin Thor; Shah, Vilasben Kanji; Williams, Geoffrey Martyn; Schofield, Karen Lesley
PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

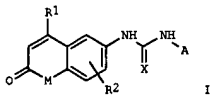
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004072044	A2	20040826	WO 2004-IB295	20040130
WO 2004072044	A3	20041111		

W: AE, AE, AG, AL, AL, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
GQ, GW, ML, MR, NE, SN, TD, TG

US 2004266820	A1	20041230	US 2004-775464	20040210

PRIORITY APPLN. INFO.: US 2003-446409P 20030211
GI

L5 ANSWER 3 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



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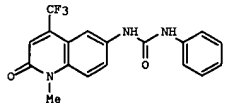
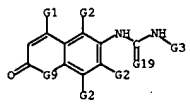


II

AB The title compds. I [M = NZ or O; Z = H, alkyl; R1 = H, alkyl, optionally substituted with one or more halogens, or alkoxy, optionally substituted with one or more halogens; R2 = absent or may represent up to 2 substituents selected from halo, CN, OH, alkyl, alkenyl, alkynyl, alkoxy, etc.; X = O or S;; A = H, alkyl, alkenyl, alkynyl, etc.] were prepared as androgen receptor antagonists for the treatment of alopecia, acne, oily skin, prostate cancer, hirsutism, and benign prostate hyperplasia. For example, reaction of 6-amino-1-methyl-4-trifluoromethyl-1H-quinoline-2-one (preparation given) with Ph isocyanate yielded compound II.

MSTR 1



G3 = alkyl<(1-8)>
G9 = O
G19 = O
MPL: claim 1

L5 ANSWER 4 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:123624 MARPAT
TITLE: Preparation of cardiotonic compounds with inhibitory activity against β-adrenergic receptors and phosphodiesterase
INVENTOR(S): Hamilton, Gregory S.; Leighton, Harry Jefferson
PATENT ASSIGNEE(S): Artesian Therapeutics, Inc., USA
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058726	A2	20040715	WO 2003-US41031	20031223
WO 2004058726	A3	20041028		

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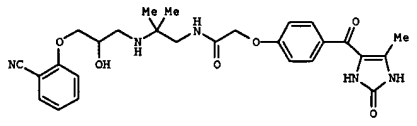
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

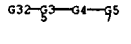
PRIORITY APPLN. INFO.: US 2002-435524P 20021223
GI



II

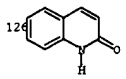
AB Ar(OCH2)nCH(OH)NR1LX [I, n = 0, 1; Ar = (un)substituted aryl, heteroaryl; R1 = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl; L = alkylene, heteroalkylene; X = N heterocyclic] were prepared for use as inhibitors of β-adrenergic receptors and phosphodiesterase (PDE), including PDE-3 (no data). Thus, the imidazolone II was prepared from 4-PhCH2OC6H4CO2H by reaction with 4-methyl-2-imidazolone, debenzylation, reaction with BrCH2CO2Et and 2-NCC6H4OCH2CH(OH)CH2NHCMe2CH2NH2. Pharmaceutical compns. are also claimed. I are useful for regulating calcium homeostasis, for treating a disease, disorder or condition in which disregulation of calcium homeostasis is implicated and for treating cardiovascular disease, stroke, epilepsy, an ophthalmic disorder or migraine.

MSTR 1



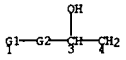
L5 ANSWER 4 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

G3 = NH
G5 = 126



G6 = 38

G7 = NH
G8 = Ak<EC (1-11) C, BD (0-) D (0-) T> (SO OH)
G32 = 4



MPL: claim 1
NTE: substitution is restricted
NTE: additional substitution also claimed

L5 ANSWER 5 OF 44 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 140:16568 MARPAT
TITLE: Preparation of aryl aniline β-2 adrenergic receptor agonists
INVENTOR(S): Moran, Edmund J.; Jacobsen, John R.; Leadbetter, Michael R.; Nodwell, Matthew B.; Trapp, Sean G.; Aggen, James; Church, Timothy J.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 68 pp., Cont.-in-part of U.S. Ser. No. 292,835.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

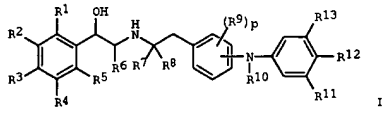
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003229058	A1	20031211	US 2003-431762	20030508
US 6670376	B1	20031230	US 2002-292835	20021112
US 2004059116	A1	20040325	US 2003-642926	20030818
US 2004063755	A1	20040401	US 2003-643196	20030818
WO 2005025555	A2	20050324	WO 2004-US14168	20040507

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:		
	US 2001-338194P	20011113
	US 2001-343771P	20011228
	US 2002-292835	20021112
	US 2002-292211	20021112
	US 2003-431762	20030508

GI

L5 ANSWER 5 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



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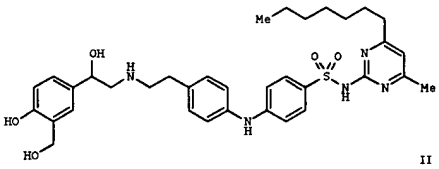
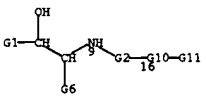


II

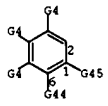
AB Title compds. I [R1-5 = H, alk(en/yn)yl, cycloalkyl, heterocyclyl, etc.; R6 = H, alkyl, alkoxy; R7 = H, alkyl; R8 = H, alkyl; R9 = alk(en/yn)yl, (hetero)aryl, etc.; R10 = H, alkyl; R11-13 = H, (cyclo)alkyl, alkenyl, alkynyl, (hetero)aryl, etc.; p = 0-4] are prepared For instance, the di-Me ketal of 4-hydroxy-3-hydroxymethyl-α-bromoacetophenone (preparation given) is reacted with 4-bromophenethylamine (CH2Cl2, Et3N) followed by 4,4'-dimethoxychlorodiphenylamine and subsequently reduced (THF, NaBH4). The resulting protected amino alc. is then coupled with N-(4-heptyl-6-methyl-2-pyrimidinyl)sulfanilamide (PhMe, dppf, Pd2dba3, 80°, 5 h) and then deprotected with HOAc (80°, 5 h) to give II. All of the compds. tested demonstrated greater binding at the β2 adrenergic receptor than at the β1 adrenergic receptor, i.e., Ki(β1) > Ki(β2); many with a selectivity greater than 20. I are useful for the treatment of pulmonary diseases.

MSTR 1

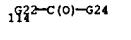


G1 = 2

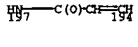
L5 ANSWER 5 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G4 = 114



G16 = heteroaryl<EC (0-) N (0-) O (0-) S>
G22 = NH
G44+G45= 197-6 194-1



MPL: claim 1
NTE: or pharmaceutically acceptable salts and solvates
NTE: additional substitution also claimed
STE: or stereoisomers

L5 ANSWER 6 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 139:364692 MARPAT
TITLE: Preparation of substituted phenyl compounds for the treatment of non-insulin dependent diabetes mellitus
INVENTOR(S): Sabatucci, Joseph P.; Caufield, Craig E.; Greenfield, Alexander A.; Morris, Koi M.; Morrison, Eamonn P.
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: U.S. Pat. Appl. Publ., 21 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003203941	A1	20031030	US 2003-408912	20030408
PRIORITY APPLN. INFO.:			US 2002-371540P	20020410

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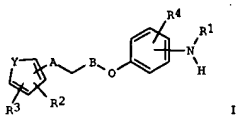

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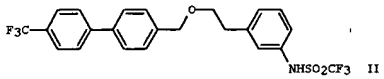
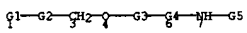


II

AB The title compds. [I; Y = O, S, N, C:C, C:N; R1 = SO2CF3, SO2Ar, SO2Me, CONH2, etc.; Ar = (un)substituted Ph, naphthyl, quinolyl; R2, R3 = H, halo, OH, etc.; R4 = H, halo, alkoxy; A = a bond, divalent group such as (un)substituted imidazole, thiazole, oxazole, etc.; B = CH2, CH2CHR5, CHR5CH2, CHR9R10; R5, R9, R10 = alkyl, F, H] that are useful in treating metabolic disorders mediated by insulin resistance or hyperglycemia, were prepared E.g., a 3-step synthesis of II (starting from 3-(2-hydroxyethyl)phenylamine and 4-bromobenzyl chloride) which showed 34% reduction [day 3 (6 h) p.o.] in plasma glucose at 5 mg/kg, was given. Pharmaceutical composition comprising the compound I is claimed.

MSTR 1

L5 ANSWER 6 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G4 = phenylene (SO (1-) G11)
G12 = 11-7 12-10

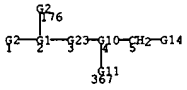
G13 = quinolinyl (SO (1-2) G14)
G14 = OH
MPL: claim 1
NTE: or pharmaceutically acceptable salts

L5 ANSWER 7 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 139:333102 MARPAT
TITLE: Topoisomerase modulating compounds and methods for the treatment of neoplastic disease
INVENTOR(S): Erskine, Symon G.; Gwynn, Michael; Pearson, Neil David; Wilding, Edwina Imogen
PATENT ASSIGNEE(S): SmithKline Beecham Corporation, USA; SmithKline Beecham P.L.C.
SOURCE: U.S. Pat. Appl. Publ., 20 pp., Division of U.S. Ser. No. 912,483.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

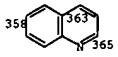
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003203917	A1	20031030	US 2003-441435	20030520
US 6803369	B1	20041012	US 2001-912483	20010725
PRIORITY APPLN. INFO.:			US 2001-912483	20010725
			US 2000-220635P	20000725

AB A method of modulating the activity of a aberrant cell topoisomerase enzyme involving contacting the enzyme with a compound that inhibits enzyme-mediated cleavage of a polynucleotide substrate with which the enzyme is in complex. Pharmaceutical compns. containing such compds. may be used to treat neoplasias or to inhibit the growth of certain cancer cells. Screening methods can be employed to identify other compds. for these uses. SB366676-AY (prepared from 6-methoxyquinoline-4-carboxylic acid) formed a stable ternary complex with DNA gyrase and pBR322 DNA. Compds. of the invention did not induce DNA cleavage.

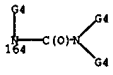
MSTR 1



G1 = 358-1 363-3 365-176



G2 = OH / 164



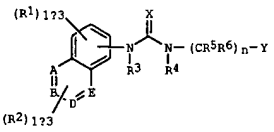
G4 = acyl

L5 ANSWER 7 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
G23 = Ak<EC (2-) C, BD (0-) D (0) T> (SO (1-) G27)
MPL: claim 1
NTE: substitution is restricted
NTE: additional ring formation also claimed

L5 ANSWER 8 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 139:292162 MARPAT
TITLE: Heteroaromatic ureas as vanilloid receptor (VR1) modulators, in particular antagonists, for treating pain and/or inflammation
INVENTOR(S): Brown, Rebecca Elizabeth; Doughty, Victoria Alexandra; Hollingworth, Gregory John; Jones, A. Brian; Lindon, Matthew John; Moyes, Christopher Richard; Rogers, Lauren
PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK
SOURCE: PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003080578	A1	20031002	WO 2003-GB1302	20030321
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2479150	AA	20031002	CA 2003-2479150	20030321
EP 1490340	A1	20041229	EP 2003-710014	20030321
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005107388	A1	20050519	US 2003-505358	20030321
PRIORITY APPLN. INFO.:			GB 2002-6876	20020322
			WO 2003-GB1302	20030321

GI



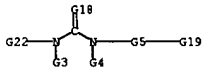
I

AB Title compds. I [wherein A, B, D, E are each C or N with the proviso that one or more are N; R1, R2 = independently H, halo, alk(enyl/ynyl), haloalkyl, hydroxyalkyl, cycloalkyl, cycloalkylalkyl, NH2 and derivs.,

L5 ANSWER 8 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

CO2H and derivs., (un)substituted alkyl, alkoxy; R3, R4 = independently H, alk(en/yn)yl; R5, R6 = at each occurrence, independently H, alk(enyl/ynyl), alkoxy, acyloxy, carboxy and derivs., CONH2 and derivs., sulfonyl(alkyl/amino), aryl, hetero(aryl/cyclyl), (un)substituted alkyl; or CR5R6 = 3-6 carbocyclic membered ring; R7, R8 = at each occurrence, independently H, alk(en/yn)yl, cycloalkyl, fluoroalkyl; or NR7R8 = (un)substituted 4-7 heteroaliph. membered ring; X = O, S or =NCN; Y = aryl, heteroaryl, carbocyclyl, fused carbocyclyl group; n = 0, 1, 2, 3; and their pharmaceutically acceptable salts, N-oxides, and prodrugs) were prepd. as vanilloid receptor (VR1) modulators, in particular antagonists, for treating conditions or diseases in which pain and/or inflammation predominates. For example, 1-isoquinolin-5-yl-3-(3-phenylpropyl)urea was prepd. by reacting isoquinoline-5-carboxylic acid with diphenylphosphoryl azide in toluene at reflux for 1 h through a Curtius rearrangement, followed by addn. of 3-phenylpropylamine and reflux for 18 h. I bound to the VR1 receptor with an IC50 < 1 μM, and in the majority of cases, < 200 nM. I are predominantly VR1 antagonists with a few of them VR1 partial antagonists and VR1 partial agonists. Thus, I and their pharmaceutical compns. are useful for treating pain and/or inflammation.

MSTR 1



G2 = OH
G18 = O
G19 = Ph (SO (1-) G24)
G22 = 32

G23 = (1-3) N / 46

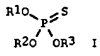
MPL: claim 1
NTE: substitution is restricted
NTE: or pharmaceutically acceptable salts, N- or S-oxides, or prodrugs
NTE: additional ring formation also claimed

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 139:191453 MARPAT
TITLE: Thiophosphate analogs as steroid sulfatase inhibitors
INVENTOR(S): Amishiro, Nobuyoshi; Muramatsu, Kozue; Murakata, Isamu
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 17 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003238413	A2	20030827	JP 2002-36372	20020214
PRIORITY APPLN. INFO.:			JP 2002-36372	20020214

GI

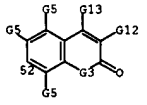


I

AB Thiophosphate analogs (I; R1, R2 = H, (substituted)low alkyl; R3 = single or cyclic alc. residue, steroidal) and their pharmacol. acceptable salts are claimed as steroid sulfatase inhibitors for treatment of steroid hormone-related diseases. I were prepared, and formulation examples of I tablets and granules were given.

MSTR 1

G2 = 52



G3 = O
G5 = 84

G6 = O
G7 = 75

L5 ANSWER 9 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

G9 = 87

G20 = Hy<EC (0-) N (0-) O (0-) S, RC (1-)> (SO)
MPL: claim 1
NTE: or pharmacologically acceptable salts
NTE: also incorporates claim 28
NTE: additional ring formation also claimed

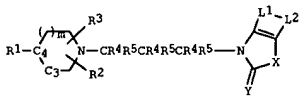
L5 ANSWER 10 OF 44 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 139:101136 MARPAT
TITLE: Preparation of tetrahydroquinoline analogs such as benzoxazinones as muscarinic agonists useful against mental and other disorders
INVENTOR(S): Skjaerbaek, Niels; Koch, Kristian Norup; Friberg, Bo Lennart Mikael; Tolf, Bo-Ragnar
PATENT ASSIGNEE(S): Acadia Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 119 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

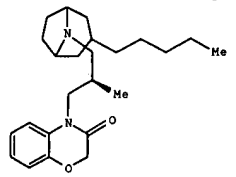
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003057672	A2	20030717	WO 2002-US41617	20021223
WO 2003057672	A3	20031113		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2470578	AA	20030717	CA 2002-2470578	20021223
US 2003176418	A1	20030918	US 2002-329455	20021223
EP 1461318	A2	20040929	EP 2002-794441	20021223
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002015430	A	20041214	BR 2002-15430	20021223
PRIORITY APPLN. INFO.:			US 2001-344722P	20011228
			WO 2002-US41617	20021223

GI

L5 ANSWER 10 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



I

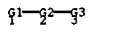


II

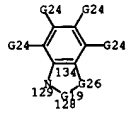
AB The present invention relates to tetrahydroquinoline compds. (shown as I; variables defined below; e.g. II) as muscarinic receptor agonists (especially the M1 and M4 subtypes); compns. comprising the same; methods of inhibiting an activity of a muscarinic receptor with said compds.; methods of treating a disease condition associated with a muscarinic receptor using said compds.; and methods for identifying a subject suitable for treatment using said compds. Values for %efficacy and pEC50 are tabulated for about 25 examples of I for M1-M5 muscarinic receptors showing selectivity towards M1 and M4 subtypes. For I: R1 = (un)substituted C1-6-alkyl, C2-6-alkylidene, C2-6-alkenyl, C2-6-alkynyl, O-C1-6-alkyl, O-C2-6-alkenyl, O-C2-6-alkynyl, S-C1-6-alkyl, S-C2-6-alkenyl, or S-C2-6-alkynyl; m = 0-2; C3-C4 is CH2-CH or CH=C or C4 is CH and C3 is absent; R2 and R3 = H, (un)substituted C1-6 alkyl, (un)substituted O-C1-6 alkyl, halogen, hydroxy or selected such that R2 and R3 together form a ring system; each R4 and R5 = H, halogen, hydroxy, (un)substituted C1-6-alkyl, (un)substituted O-C1-6-alkyl, (un)substituted aryl-C1-6alkyl, and (un)substituted arylheteroalkyl. L1 and L2 are biradicals independently = -C(R6):C(R7), -C(R6):N-, -N:C(R6)-, -S-, -NH- and -O-; wherein only one of L1 and L2 may be -S-, -NH- and -O-; Y = O, S, and H2; X is a biradical = -C(R6)(R7)-C(R6)(R7)-, -C(R6):C(R7)-, -OC(R6)(R7)-, C(R6)(R7)O-, -SC(R6)(R7)-, -C(R6)(R7)S-, -N(RN)C(R6)(R7)-, -C(R6)(R7)N(RN)-, -C(R6)(R7)C(R6)(R7)C(R6)(R7)-, -O-C(R6)(R7)C(R6)(R7)-, SC(R6)(R7)C(R6)(R7)-, N(RN)C(R6)(R7)C(R6)(R7)-, -C(R6)(R7)C(R6)(R7)O-, -C(R6)(R7)C(R6)(R7)S-, -C(R6)(R7)-C(R6)(R7)-N(RN)-, -C(R6)(R7)C(R6):C(R7)-, and -C(R6):C(R7)C(R6)(R7), wherein R6 and R7 = H, halogen, hydroxy, nitro, cyano, NRNRN, N(RN)C(O)N(RN), (un)substituted C1-6-alkyl, C2-6-alkenyl, C2-6-alkynyl, (un)substituted OC1-6-alkyl, (un)substituted O-aryl, (un)substituted O-C2-6-alkenyl, (un)substituted OC2-6-alkynyl wherein RN = H, and (un)substituted C1-6-alkyl. Although the methods of preparation are not claimed, many example prepns. of intermediates and I are included.

MSTR 1

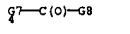
L5 ANSWER 10 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



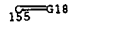
G3 = 129



G6 = 4



G7 = NH
G8 = alkylamino<(1-6)>
G18 = O
G19 = 155



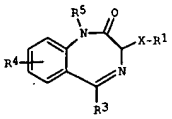
G26 = CH=CH
MPL: claim 1
NTE: or pharmaceutically acceptable salts
STE: or stereoisomers

L5 ANSWER 11 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 139:69297 MARPAT
TITLE: Benzodiazepinone derivatives as bradykinin B2 receptor antagonists, preparation thereof, and use for treating pain
INVENTOR(S): Leung, Carmen; Santhakumar, Vijayaratnam; Tomaszewski, Miroslaw; Woo, Simon
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 203 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003051275	A2	20030626	WO 2002-SE2309	20021211
WO 2003051275	A3	20031030		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

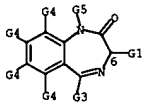
PRIORITY APPLN. INFO.: SE 2001-4248 20011214
GI



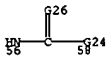
AB A method is claimed of treating pain in a warm-blooded animal, comprising the step of administering a therapeutically effective amount of benzodiazepinones (shown as I; variables defined below; e.g. N-(7-chloro-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-N'-(5-isoquinolinyl)thiourea), pharmaceutically acceptable salts thereof, diastereomers thereof, enantiomers thereof, or mixts. thereof. For I: R1 = (un)substituted acyl, alkyloxycarbonyl, alkyl, heteroalkyl, cycloalkyl, aryl, heterocyclyl; aryl-C1-6-alkyl, and heterocyclyl-C1-6-alkyl, or a divalent C1-12 group that together with a 2nd N of X form a ring; X is a divalent group including a 1st N atom and the 2nd N atom, wherein a 1st group is linked to the 1st N atom and R1 is linked to the 2nd N atom, and wherein the 1st and 2nd N atoms are separated by either one C atom, or two C atoms wherein said two C atoms have a double bond there between. R3 is

L5 ANSWER 11 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
(un)substituted aryl, C1-12alkyl, C3-12cycloalkyl, or heterocyclyl; R4 = H, halogen, (un)substituted alkyl, (un)substituted heteroalkyl, nitro, cyano, hydroxy, OR6, SR6, S(O)R6, S(O)2R6, C(O)R6, C(S)R6, NR7R6, C(O)N7R6, NR7C(O)R6, SO2NR7R6, NR7SO2R6, or C(O)OR6; and R5, R6 and R7 = H, (un)substituted C1-6alkyl. Thirty-three examples of I were tested for binding to B2 bradykinin and ranged from 43-3110 nM (dissocn. const.); no individual values are reported. Although the methods of prepn. are not claimed, 26 example prepns. of I and 31 of intermediates are included. More than 1100 examples of I prepd. combinatorially are tabulated with LCMS anal. results.

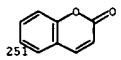
MSTR 1



G2 = 56-6 58-44



G9 = 251



G24 = NH
G26 = O
MPL: claim 1
NTE: additional heteroatom interruptions also claimed
NTE: and pharmaceutically acceptable salts

L5 ANSWER 12 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 139:69296 MARPAT
TITLE: Preparation of benzodiazepinones and a benzodiazepinone combinatorial library as potential bradykinin receptor antagonists
INVENTOR(S): Leung, Carmen; Santhakumar, Vijayaratnam; Tomaszewski, Miroslaw; Woo, Simon
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 207 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003051274	A2	20030626	WO 2002-SE2306	20021211
WO 2003051274	A3	20031030		

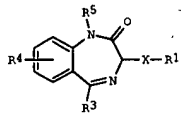
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2468448	AA	20030626	CA 2002-2468448	20021211
EP 1458691	A2	20040922	EP 2002-793634	20021211

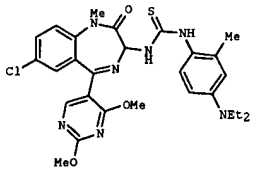
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

PRIORITY APPLN. INFO.: SE 2001-4250 20011214
WO 2002-SE2306 20021211
GI

L5 ANSWER 12 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



I

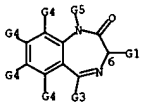


II

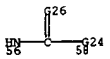
AB Benzodiazepines I [R1 = alkyl, cycloalkyl, heteroalkyl, aryl, heterocyclyl; aralkyl, heteroarylalkyl, acyl, alkoxycarbonyl; R3 = alkyl, cycloalkyl, aryl, heteroaryl; R4 = H, halogen, alkyl, heteroalkyl, O2N, cyano, HO, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, acyl, alkylthiocarbonyl, amino, aminocarbonyl, aminosulfonyl, alkylsulfonylamino, alkoxycarbonyl; R5 = h, (un)substituted C1-6 alkyl; X = (un)substituted aminomethylamino or aminoethenylamino; R1 and X may form a ring; R1, R3, R4, X may all be substituted with alkyl groups] are prepared both by classic synthetic techniques and as members of a combinatorial library; I are human B2 bradykinin receptor antagonists with Ki values between 43 and 3110 nM. Thus, treatment of 6-chloro-1-methyl-2H-3,1-benzoxazinone with glycine, chlorination with POCl3, Pd-catalyzed coupling of the resultant chloroimine with 2,4-dimethoxy-5-pyrimidineboronic acid, azidation with trisyl azide, Staudinger reaction of the azide with resin-bound triphenylphosphine, acylation of the free amine with thiophosgene, and addition of 4-(diethylamino)-2-methylaniline to the isothiocyanate yields the benzodiazepine II. Methods for the synthesis of combinatorial libraries of I by alkylation of the N1 site of benzodiazepin-2-ones followed by deprotection, acylation of the free amine with either phosgene or thiophosgene, and addition of amines to the isocyanates or isothiocyanates formed in the previous step are claimed. Methods for the synthesis of I by palladium-mediated coupling of boronic acids with 5-halobenzo-1,4-diazepin-2-ones followed by regioselective azidation at the 3-position of the benzodiazepinone and Staudinger reaction of the azide with triphenylphosphine are also claimed. I may be useful as potential analgesics (no data).

MSTR 1

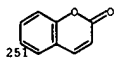
L5 ANSWER 12 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G2 = 56-6 58-44



G9 = 251



G24 = NH
G26 = O
MPL: claim 1
NTE: additional heteroatom interruptions also claimed
NTE: and pharmaceutically acceptable salts
STE: and diastereomers and enantiomers

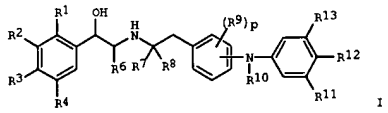
L5 ANSWER 13 OF 44 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 138:401502 MARPAT
TITLE: Preparation of aryl aniline β-2 adrenergic receptor agonists
INVENTOR(S): Moran, Edmund J.; Jacobsen, John R.; Leadbetter, Michael R.; Nodwell, Matthew B.; Trapp, Sean G.; Aggen, James; Church, Timothy J.
PATENT ASSIGNEE(S): Theravance, Inc, USA
SOURCE: PCT Int. Appl., 139 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003042164	A1	20030522	WO 2002-US36237	20021112
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2466962	AA	20030522	CA 2002-2466962	20021112
EP 1446379	A1	20040818	EP 2002-780622	20021112
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002013795	A	20041207	BR 2002-13795	20021112
JP 2005509024	T2	20050407	JP 2003-544001	20021112
US 2004059116	A1	20040325	US 2003-642926	20030818
PRIORITY APPLN. INFO.:			US 2001-338194P	20011113
			US 2001-343771P	20011228
			US 2002-292211	20021112
			WO 2002-US36237	20021112

GI

L5 ANSWER 13 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



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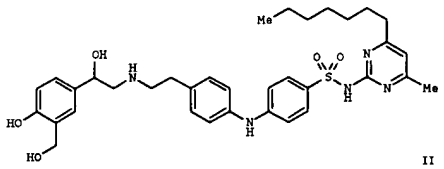
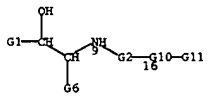


II

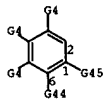
AB Title compds. I [R1-5 = H, alk(en/yn)yl, cycloalkyl, heterocyclyl, etc.; R6 = H, alkyl, alkoxy; R7 = H, alkyl; R8 = H, alkyl; R9 = alk(en/yn)yl, (hetero)aryl, etc.; R10 = H, alkyl; R11-13 = H, (cyclo)alkyl, alkenyl, alkynyl, (hetero)aryl, etc.; p = 0-4] are prepared For instance, the di-Me ketal of 4-hydroxy-3-hydroxymethyl-α-bromoacetophenone (preparation given) is reacted with 4-bromophenethylamine (CH2Cl2, Et3N) followed by 4,4'-dimethoxychlorodiphenylamine and subsequently reduced (THF, NaBH4). The resulting protected amino alc. is then coupled with N-(4-heptyl-6-methyl-2-pyrimidinyl)sulfanilamide (PhMe, dppf, Pd2dba3, 80°, 5 h) and then deprotected with HOAc (80°, 5 h) to give II. All of the compds. tested demonstrated greater binding at the β2 adrenergic receptor than at the β1 adrenergic receptor, i.e., Ki(β1) > Ki(β2); many with a selectivity greater than 20. I are useful for the treatment of pulmonary diseases.

MSTR 1



G1 = 2

L5 ANSWER 13 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G4 = 114

G16 = heteroaryl<EC (0-) N (0-) O (0-) S>
G22 = NH
G44+G45= 197-6 194-1

MPL: claim 1
NTE: or pharmaceutically acceptable salts and solvates
NTE: additional substitution also claimed
STE: or stereoisomers

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 14 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 138:271682 MARPAT
TITLE: Preparation of cyclic hydroxamic acids as inhibitors of matrix metalloproteinases and/or TNF-α converting enzyme for treatment of inflammatory disorders
INVENTOR(S): Ott, Gregory; Chen, Xiao-Tao; Duan, Jingwu; Lu, Zhonghui
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 344 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003024899	A2	20030327	WO 2002-US29685	20020916
WO 2003024899	A3	20031127		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003139388	A1	20030724	US 2002-244626	20020916
US 6740649	B2	20040525		
EP 1427408	A2	20040616	EP 2002-775865	20020916
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				

PRIORITY APPLN. INFO.: US 2001-322630P 20010917
WO 2002-US29685 20020916

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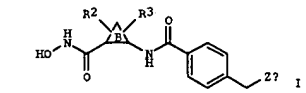
L5 ANSWER 14 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



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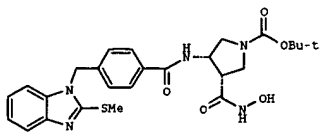
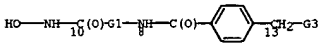


II

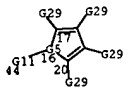
AB Title compds. I [wherein ring B = (un)substituted 4-7 membered (hetero)cyclic ring containing 0-2 O, N, NR1, or SOp atoms and 0-3 carbonyl groups; R1 and R2 = independently Q, alk(en/yn)ylene-Q, or (un)substituted alkylene-Q interrupted by O, NRa, CO, CO2, CONRa, NRaCO, NRaCO2, NRaCONRa, SOp, NRaSO2, or SO2NRa; or R1 = (un)substituted alkylene-Q interrupted by OCO, OCO2, or OCONRa; Q = H or (un)substituted (hetero)cyclyl; R3 = Q1, Cl, F, alk(en/yn)ylene-Q1, or (un)substituted alkylene-Q1 interrupted by O, NR1, NRaCO, CONRa, CO, CO2, SOp, or SO2NRa; Q1 = H or (un)substituted Ph, naphthyl, or heterocyclyl; Za = (un)substituted benzimidazolyl, indolyl, imidazopyridinyl, pyrazolylpyridinyl, benzofuranyl, benzothiazinyl, quinolinyl, etc.; Ra = independently H, alkyl, Ph, or benzyl; p = 0-2; or stereoisomers or pharmaceutically acceptable salts thereof] were prepared as inhibitors of matrix metalloproteinases (MMP), TNF-a converting enzyme (TACE), aggrecanase, or a combination thereof. For example, reaction of benzyl Me maleate with paraformaldehyde and glycine gave benzyl Me (cis)-3,4-pyrrolidinedicarboxlyate (100%). BOC-protection (64%), debenzylation (96%), resolution of the (3S,4S)-isomer with (S)-α-methylbenzylamine, conversion to the carbamate with DPPA and PhCH2OH (76%), and Pd catalyzed hydrogenation (100%) provided Me (3S,4S)-4-amino-1-(tert-butoxycarbonyl)-3-pyrrolidinecarboxylate. Coupling of the amine with 4-[(2-methylthio-1H-benzimidazol-1-yl)methyl]benzoic acid (preparation given) afforded the amide (99%), which was treated with NH2OH•HCl/MeONa to give the hydroxamic acid (3S,4S)-II (33%). A number of the compds. of the invention inhibited MMP-1, 2, 3, 7, 8, 9, 10, 12, 13, 14, 15, and/or 16 with Ki values of ≤ 10 μM. Thus, I are useful for the treatment of a wide variety of inflammatory disorders (no data).

MSTR 1

L5 ANSWER 14 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



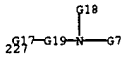
G3 = 16



G5 = 80-13 78-44 81-17 82-20

G7 = Ph
G11 = OH
G17 = 121

G19 = C(O)
G29 = 227



MPL: claim 1
NTE: or pharmaceutically acceptable salts
NTE: substitution is restricted
NTE: additional ring formation also claimed
STE: or stereoisomers

L5 ANSWER 15 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 136:309851 MARPAT
TITLE: Preparation of diphenylamines and N-nitrosodiphenylamines for treatment of oxidative stress and unavailability of endothelial nitric oxide.
INVENTOR(S): Lardy, Claude; Nioche, Jean-Yves; Caputo, Lidia; Decerprit, Jacques; Ortholand, Jean-Yves; Festal, Didier; Guerrier, Daniel
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: PCT Int. Appl., 142 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002028820	A1	20020411	WO 2001-EP10761	20010918
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
FR 2815030	A1	20020412	FR 2000-12749	20001005
CA 2424684	AA	20020411	CA 2001-2424684	20010918
AU 2001089891	A5	20020415	AU 2001-89891	20010918
BR 2001014252	A	20030701	BR 2001-14252	20010918
EP 1322598	A1	20030702	EP 2001-969732	20010918
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004521866	T2	20040722	JP 2002-532407	20010918
US 2004063783	A1	20040401	US 2003-398238	20030403
NO 2003001533	A	20030404	NO 2003-1533	20030404
ZA 2003003369	A	20040730	ZA 2003-3369	20030430

PRIORITY APPLN. INFO.: FR 2000-12749 20001005
WO 2001-EP10761 20010918

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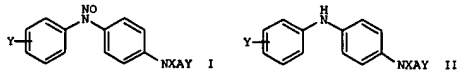
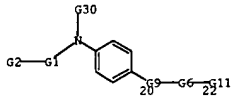


I II

AB Title compds. [I; X, Ra = H, (unsatd.) aliphatyl, AY; A = CO, SO2, CONRa, CONRaSO2; T = H, halo, NO2, cyano, (unsatd.) (halogenated) aliphatyl optionally interrupted by O and/or S; Y = organic substituent; with provisos], and des-nitroso compds. (II; variables as above), were prepared Thus, a mixture of nicotinoyl chloride hydrochloride, 4-amino-4'-methoxy-N-tert-butoxycarbonyldiphenylamine, and Et3N was stirred in CH2Cl2 to give 100% 4-nicotinoylamino derivative which was N-deprotected with CF3CO2H to give 95.2% 4-methoxy-4'-nicotinoylaminodiphenylamine. The latter in HOAc was

L5 ANSWER 15 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
treated dropwise with aq. NaNO2 to give 88% N-nitroso-4-methoxy-4'-nicotinoylaminodiphenylamine. Tested II inhibited oxidn. of human low mol. wt. lipoproteins by Cu2+ with IC50 = 1.7-13.4 μM.

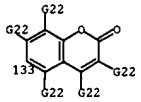
MSTR 1



G9 = 30

G10 = 35-30 36-32

G11 = 133



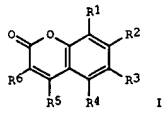
MPL: claim 1
NTE: and addition salts, hydrates, and solvates
NTE: substitution is restricted
NTE: also incorporates claim 24
STE: and stereoisomers

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 16 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 136:20255 MARPAT
TITLE: Profiling of protease specificity using combinatorial fluorogenic substrate libraries
INVENTOR(S): Harris, Jennifer L.; Backes, Bradley J.; Ellman, Jonathan A.; Craik, Charles S.
PATENT ASSIGNEE(S): Regents of the University of California, USA
SOURCE: PCT Int. Appl., 98 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001094332	A1	20011213	WO 2001-US17265	20010525
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002022243	A1	20020221	US 2001-866132	20010525
US 6680178	B2	20040120		
US 2004175777	A1	20040909	US 2003-686884	20031015
PRIORITY APPLN. INFO.:			US 2000-209274P	20000602
			US 2001-866132	20010525
			WO 2001-US17265	20010525

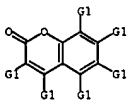
GI



AB Fluorogenic peptide substrates allow for the configuration of general substrate libraries to rapidly identify the primary and extended specificity of enzymes, such as proteases. Coumarin derivs. I [R1-R6 are H, halo, NO2, CN, C(O)mR7, C(O)NR8R9, S(O)tR10, SO2NR11R12, OR13, (un)substituted alkyl, -R14-SS or NHR15, where R7-R13 are H, (un)substituted alkyl or aryl; R14 is a linking group adjoining the fluorogenic moiety and the solid support (SS); R15 is an amine-protecting group, -C(O)-AA or -C(O)-P, where P is a peptide sequence and AA is an amino acid residue; m = 1 or 2; t = 0-2, with the proviso that at least one of R1-R6 is -R14-SS and at least one of R1-R6 is NHR15] are claimed. The substrates contain a fluorogenic-leaving group, such as

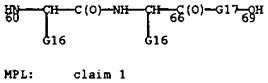
L5 ANSWER 16 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
7-amino-4-carbamoylmethylcoumarin (ACC). Substrates incorporating the ACC leaving group show comparable kinetic profiles as those with the traditionally used 7-amino-4-methylcoumarin (AMC) leaving group. The bifunctional nature of ACC allows for the efficient prodn. of single substrates and substrate libraries using solid-phase synthesis techniques. The approx. 3-fold increased quantum yield of ACC over AMC permits redn. in enzyme and substrate concns., so that a greater no. of substrates can be tolerated in a single assay, thus enabling an increase in the diversity space of the library. Employing this screening method, the substrate specificities of a diverse array of proteases were profiled, including serine proteases and cysteine proteases.

MSTR 1



G1 = 52

G13 = 60



MPL: claim 1

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 17 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 135:303914 MARPAT
TITLE: Preparation of compounds which contain a 1,2,4-trioxane moiety linked to a quinoline moiety for pharmaceutical use as antimalarial agents
INVENTOR(S): Meunier, Bernard; Robert, Anne; Dechy-Cabaret, Odile; Benoit-Vical, Francoise
PATENT ASSIGNEE(S): Centre National de la Recherche Scientifique (C.N.R.S.), Fr.
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001077105	A1	20011018	WO 2001-FR1013	20010404
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2807433	A1	20011012	FR 2000-4422	20000406
FR 2807433	B1	20020920		
CA 2405076	AA	20011018	CA 2001-2405076	20010404
EP 1268470	A1	20030102	EP 2001-921476	20010404
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001009885	A	20030603	BR 2001-9885	20010404
JP 2004521855	T2	20040722	JP 2001-575578	20010404
ZA 2002007851	A	20040126	ZA 2002-7851	20020930
NO 2002004795	A	20021206	NO 2002-4795	20021004
US 2004038957	A1	20040226	US 2003-240929	20030204
PRIORITY APPLN. INFO.:			FR 2000-4422	20000406
			WO 2001-FR1013	20010404

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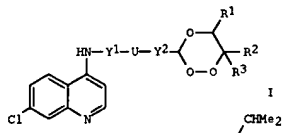
L5 ANSWER 17 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



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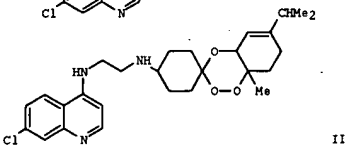


II

AB 1,2,4-Trioxanes, such as I [R1, R2 = H, fused carbocyclic ring, alkyl, etc.; R3 = H, Me, Ph, etc.; Y1, Y2 = linking group, such as alkylene, cycloalkylene; U = O, S, amino, amide sulfonamide, carboxy, etc.], were prepared for use a therapeutic agents for the treatment of malaria. Thus, trioxane II as its dicitrate salt, designated as DU 1302, was prepared via cyclization of α-terpinene and 1,4-cyclohexanedione by photooxidn. using oxygen in CH2Cl2 followed by condensation of the resulting keto-trioxane with N-(7-chloro-4-quinolinyl)-1,2-ethanediamine using sodium triacetoxyborohydride in CH2Cl2. The prepared trioxanes were tested for antimalarial activity against three strains of Plasmodium falciparum, i.e. FcB1-Columbia, FcM29-Cameroon, and Nigerian. Also, pharmaceutical compns. of the trioxanes were presented.

MSTR 1A

G3 = alkylene<(1-)> (SO (1-) OH)
G5 = NH (SO)
G7 = 27-1 28-26

G14 = NH
G16 = quinolinyl (SO (1-) G17)
G17 = OH
MPL: claim 1
NTE: additional interruptions in G3 alkylene chains also claimed
NTE: additional ring formation also claimed

L5 ANSWER 17 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
NTE: and pharmaceutically acceptable acid addition salts
NTE: substitution is restricted

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 18 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 135:147430 MARPAT
TITLE: 2-[1H]-quinolone and 2-[1H]-quinoxalone inhibitors of factor Xa, pharmaceutical compositions, and therapeutic use
INVENTOR(S): Zhu, Bing-Yan; Scarborough, Robert
PATENT ASSIGNEE(S): Cor Therapeutics, Inc., USA
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001057021	A2	20010809	WO 2001-US3176	20010201
WO 2001057021	A3	20020214		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002058657	A1	20020516	US 2001-773374	20010201
US 6777413	B2	20040817		
EP 1255741	A2	20021113	EP 2001-906827	20010201
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: US 2000-179389P 20000201
US 2000-191722P 20000324
WO 2001-US3176 20010201

AB The title compds. (Markush included), including their pharmaceutically acceptable isomers, salts, hydrates, solvates, and prodrug derivs., having activity against mammalian factor Xa, are described. Compns. containing such compds. are also described. The compds. and compns. are useful in vitro or in vivo for preventing or treating conditions in mammals characterized by undesired thrombosis.

MSTR 1

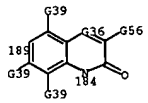
G3 = 7

G5 = 17

L5 ANSWER 18 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

G6 = 29-2 30-16

G9 = NH (SO)
G35 = 189-1 184-3



G36 = CH (SO)
MPL: claim 1
NTE: additional ring formation also claimed
NTE: and all pharmaceutically acceptable salts, hydrates, solvates and prodrugs
NTE: substitution is restricted
STE: and all pharmaceutically acceptable isomers

L5 ANSWER 19 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 134:193446 MARPAT
TITLE: Preparation of heterocyclic compounds as inhibitors of factor Xa
INVENTOR(S): Zhu, Bing-Yan; Scarborough, Robert M.; Clizbe, Lane; Doughan, Brandon; Jia, Zhaozhong-Jon; Kane-Maguire, Kim; Marlowe, Charles; Song, Yonghong; Su, Ting; Teng, Willy; Zhang, Penglie
PATENT ASSIGNEE(S): Cor Therapeutics, Inc., USA; et al.
SOURCE: PCT Int. Appl., 387 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001012600	A1	20010222	WO 2000-US21742	20000810
WO 2001012600	C2	20020912		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6534535	B1	20030318	US 2000-636804	20000810
PRIORITY APPLN. INFO.:			US 1999-148627P	19990812
			US 2000-202202P	20000505

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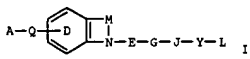

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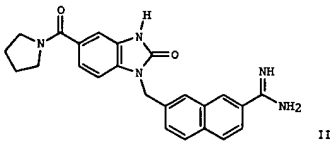


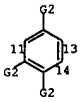
II

L5 ANSWER 19 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 19 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
AB The title compds. [I; A = alkyl, cycloalkyl, (un)substituted Ph, etc.; Q = a direct link, CH2, CO, etc.; D = (un)substituted Ph, 6-membered heteroaryl having 1-2 ring N atoms; M = NR16CO, NR16CS, CR17R18CO, etc.; R16-R18 = H, halo, alkyl, etc.; E = a direct link, CO, CONR5, etc.; R5 = alkyl, alkenyl, alkynyl, etc.; G = a direct link, CR7R8, CR7aR8aCR7bR8b, CR7c:CR8c; R7, R8, R7a, R7b, R7c, R8a, R8b, R8c = H, halo, alkyl, etc.; J = a direct link, O, S, etc.; Y = (un)substituted Ph, naphthyl, monocyclic or fused bicyclic heterocyclyl; L = H, CN, CONR12R13; R12, R13 = H, alkyl, OH, etc.] having activity against mammalian factor Xa, and useful in vitro or in vivo for preventing or treating coagulation disorders, were prepared and formulated. E.g., a multi-step synthesis of the title compound II was given.

MSTR 1

G1 = 11-28 13-2 14-3



G3 = 41-1 42-3

G4 = CH=CH (SO)
G5 = O
G11 = NHC(NH)NH2 (SO)
G13 = 100-1 101-90

G14 = NH (SO)
MPL: claim 1
NTE: additional ring formation also claimed
NTE: substitution is restricted

L5 ANSWER 20 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 133:252296 MARPAT
TITLE: Preparation of 2-(2-thienyl)ethyl thioureas (TET) as inhibitors of reverse transcriptase
INVENTOR(S): Uckun, Fatih M.; Ventatachalam, Taracad K.
PATENT ASSIGNEE(S): Hughes Institute, USA
SOURCE: U.S., 10 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6124324	A	20000926	US 1999-338685	19990623
WO 2000078756	A1	20001228	WO 2000-US40203	20000615
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2375261	AA	20001228	CA 2000-2375261	20000623
WO 2000078755	A1	20001228	WO 2000-US17361	20000623
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000009102	A	20020108	BR 2000-9102	20000623
EP 1194427	A1	20020410	EP 2000-941686	20000623
EP 1194427	B1	20030305		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003502423	T2	20030121	JP 2001-504921	20000623
AT 233758	E	20030315	AT 2000-941686	20000623
ES 2195905	T3	20031216	ES 2000-941686	20000623
PRIORITY APPLN. INFO.:			US 1999-338685	19990623
			WO 2000-US17361	20000623

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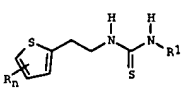
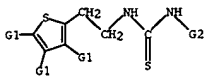


I

L5 ANSWER 20 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

AB The title compds. [I; n = 0-3; R = H, halo, alkyl, etc.; R1 = cycloalkyl, cycloalkenyl, isothiazolyl, etc.], inhibitors of reverse transcriptase and effective agents for the treatment of HIV infection, including mutant, drug-sensitive, drug-resistant, and multi-drug resistant strains of HIV, were prepared (general preparation was given). E.g., thiourea I [R = H; R1 = 4-BrC6H4] showed IC50 of 0.8 against purified recombinant HIV RT.

MSTR 1



G2 = quinolinyl (SO (1-) G3)
G3 = OH
MPL: claim 1
NTE: or pharmaceutically acceptable addition salts

REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 21 OF 44 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 132:31740 MARPAT
TITLE: Nucleotide analogs with 3'-pro-fluorescent fluorophores in nucleic acid sequence analysis
INVENTOR(S): Shi, Jufang; Boyce-Jacino, Michael T.; Goelet, Phillip
PATENT ASSIGNEE(S): Orchid Biocomputer, Inc., USA
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

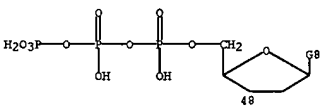
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9964437	A1	19991216	WO 1999-US13256	19990611
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6287821	B1	20010911	US 1998-95648	19980611
AU 9944380	A1	19991230	AU 1999-44380	19990611
PRIORITY APPLN. INFO.:			US 1998-95648	19980611
			WO 1999-US13256	19990611

AB The invention concerns a novel class of 3'-modified, pro-fluorescent nucleotides. The invention also pertains to methods for using such nucleotides in determining the identity of a base in a DNA or RNA target and in nucleic acid sequencing. Thus, a nucleotide analog useful in methods of the invention was prepared by reaction of 3'-amino-2',3'-dideoxythymidine triphosphate with 3-acetamidorhodamine-6-isothiocyanate. In the presence of a (nuclease-resistant) phosphorothioate-linked oligonucleotide primer hybridized to a target DNA and a DNA polymerase with 3'→5' exonuclease activity, this nucleotide analog was incorporated into the primer and the dye was simultaneously released.

MSTR 1

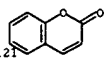
G1 = 48

L5 ANSWER 21 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G3 = 224-1 226-4

G5 = 121



MPL: claim 1

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

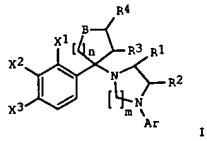
L5 ANSWER 22 OF 44 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 128:154097 MARPAT
TITLE: Preparation of certain substituted benzylamine derivatives such as amides of cis-1-(3-aminophenyl)-1-(4-phenyl-1-piperazinyl)-4-methylcyclohexane as a new class of neuropeptide Y1 specific ligands
INVENTOR(S): Blum, Charles A.; Hutchison, Alan; Peterson, John M.
PATENT ASSIGNEE(S): Neurogen Corp., USA
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9803492	A1	19980129	WO 1997-US12614	19970718
W: CA, JP, MX				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2261031	AA	19980129	CA 1997-2261031	19970718
EP 915859	A1	19990519	EP 1997-934217	19970718
EP 915859	B1	20030102		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 5962455	A	19991005	US 1997-897045	19970718
JP 2000515150	T2	20001114	JP 1998-507101	19970718
AT 230403	E	20030115	AT 1997-934217	19970718
ES 2186907	T3	20030516	ES 1997-934217	19970718
MX 9900870	A	20000331	MX 1999-870	19990122
PRIORITY APPLN. INFO.:			US 1996-22296P	19960723
			WO 1997-US12614	19970718

GI

L5 ANSWER 22 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



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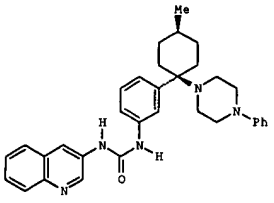
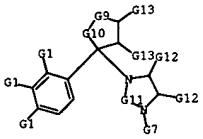


II

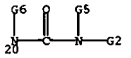
AB The title compds. [I; one of X1, X2 and X3 = -N(Ro)C(O)N(Rp)Y and the remaining X1, X2 and X3 = H; Y = (un)substituted Ph, pyridyl, naphthyl, etc.; Ro, Rp = H, C1-6 alkyl, etc.; RoRp = (CH2)n; n = 1-3; Ar = (un)substituted Ph, pyridyl, thienyl, pyrimidyl; B = S, O, N(R5), C(R5)(R6); n = 1-3; m = 2-4; R1, R2 = H, C1-6 alkyl; R3, R4 = H, C1-6 alkyl, C1-6 alkoxy; R5 = C1-6 alkyl, Ph, pyridyl; R6 = H, OH, NH2, etc.], useful in the diagnosis and treatment of feeding disorders such as obesity and bulimia and cardiovascular diseases such as essential hypertension and congestive heart failure due to the binding of these compds. to mammalian neuropeptide Y1 receptors, were prepared Thus, treatment of cis-1-(3-aminophenyl)-1-(4-phenyl-1-piperazinyl)-4-methylcyclohexane (preparation described) with phosgene in the presence of Et3N in CH2Cl2 followed by addition of 3-aminoquinoline afforded the title compound cis-II. Compds. I are effective at 0.1-140 mg/kg/day.

MSTR 1

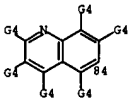
L5 ANSWER 22 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G1 = (1) 20



G2 = 84



G4 = OH
DER: and pharmaceutically acceptable salts
MPL: claim 1

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 23 OF 44 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 128:61437 MARPAT
TITLE: Preparation of substituted quinolylmethylenoxoindole analogs as tyrosine kinase inhibitors
INVENTOR(S): Battistini, Carlo; Ermoli, Antonella; Vioglio, Sergio; Buzzetti, Franco; Ballinari, Dario
PATENT ASSIGNEE(S): Pharmacia & Upjohn S.p.A., Italy
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9746551	A1	19971211	WO 1997-EP2673	19970515
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 876365	A1	19981111	EP 1997-927035	19970515
R: DE, GB, IT				
JP 11510823	T2	19990921	JP 1997-500166	19970515
US 5905149	A	19990518	US 1998-983516	19980129
PRIORITY APPLN. INFO.:			GB 1996-11797	19960606
			WO 1997-EP2673	19970515

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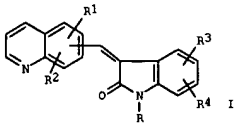
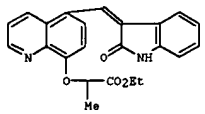


I



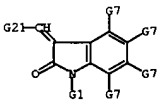
II

AB The title compds. [I; R1-R4 = X(CH2)mNH2, X(CH2)mNR5R6, etc.; R = H, (CH2)nCOR7, etc.; n = 1-4; m = 2-4; R5, R6 = H, C1-6 alkyl; R7 = (un)substituted amino acids, etc.] and the pharmaceutically acceptable salts thereof are prepared I, possessing tyrosine kinase inhibitory activity, are useful as immunomodulating agents, and antimetastatic and anticancer agents, or in the control of angiogenesis and atheromatous plaque, and treatment of Alzheimer's disease. Thus, 8-hydroxyquinoline-5-carbaldehyde was reacted with 2-oxoindole in the presence of piperidine and then reacted with MeCHBrCO2Et in the presence of Bu4NF to give the

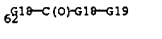
L5 ANSWER 23 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

title compd. (II), which showed IC50 of 39.5 μM against K562 cell growth in vivo. A formulation contg. I were also prepd.

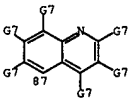
MSTR 1



G7 = 62 / OH



G18 = NH
G19 = Ph
G21 = 87



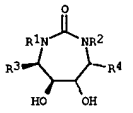
DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted

L5 ANSWER 24 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 128:22928 MARPAT
TITLE: Preparation of cyclic urea HIV protease inhibitors
INVENTOR(S): Jadhav, Prabhakar Kondaji; Ko, Soo Sung
PATENT ASSIGNEE(S): Dupont Merck Pharmaceutical Co., USA
SOURCE: U.S., 68 pp., Cont.-in-part of U.S. Ser. No. 406,240, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5683999	A	19971104	US 1996-613554	19960311
CA 2215536	AA	19960926	CA 1996-2215536	19960313
WO 9629329	A1	19960926	WO 1996-US3426	19960313
W: AU, BR, CA, CN, CZ, EE, HU, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9653100	A1	19961008	AU 1996-53100	19960313
EP 815108	A1	19980107	EP 1996-909680	19960313
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
ZA 9602133	A	19970915	ZA 1996-2133	19960315

PRIORITY APPLN. INFO.: US 1995-406240 19950317
US 1996-613554 19960311
WO 1996-US3426 19960313

GI

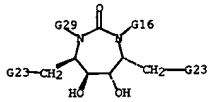


I

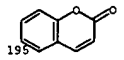
AB Cyclic ureas I [R1 = CH2XYZ; X = alkyl, aryl, cycloalkyl, etc.; Y = (CH2)nO, (CH2)nS, (CH2)nC(:NH)NH, etc.; n = 0-2; Z = 2-, 3-, or 4-pyridyl, 2-pyrazinyl, etc.; R2 = R1, CH2XY1Z1, H, etc. Y1 = (CH2)nO(CH2)m, (CH2)nS(CH2)m, etc.; Z1 = H, alkyl, alkenyl, aryl, etc.; R3, R4 = benzyl, 2-pyrrolylmethyl, Et, iso-Bu, hexyl, etc.] useful as inhibitors of HIV protease (no data), were prepared The present invention also relates to pharmaceutical compns. comprising such compds. and to method of using these compds. for the treatment HIV infection. The present invention also relates to the use of such compds. in processes for the identification of HIV protease inhibitors and for the inhibition or detection of HIV in a bodily fluid sample (no data).

MSTR 1A

L5 ANSWER 24 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G4 = NH
G13 = 195



G17 = phenylene
G18 = 251-232 253-234

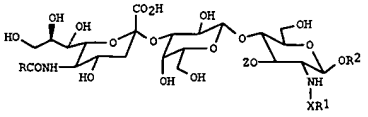
DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: additional substitution and ring formation also claimed

L5 ANSWER 25 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 127:205815 MARPAT
TITLE: Preparation of sialyl-Lewisa and sialyl-Lewisx epitope analogs as E-selection receptors
INVENTOR(S): Oehrlein, Reinhold
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Oehrlein, Reinhold
SOURCE: PCT Int. Appl., 98 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

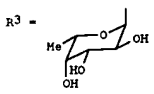
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9728174	A1	19970807	WO 1997-EP223	19970117
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9714446	A1	19970822	AU 1997-14446	19970117
EP 886639	A1	19981230	EP 1997-901068	19970117
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6187754	B1	20010213	US 1999-117521	19990108

PRIORITY APPLN. INFO.: CH 1996-229 19960130
WO 1997-EP223 19970117

GI

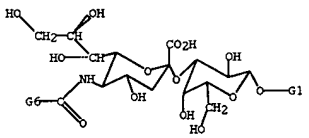


I

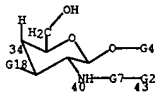


AB Sialyl-Lewisa and sialyl-Lewisx epitope analogs I (Z = α-pyranose; R1 = H, alkyl, alkenyl, cycloalkyl, heteroaryl, cycloaryl; R2 = alkyl, cycloalkyl; R3 = Me, hydroxymethyl; X = CO, CS, SO2, acyl, thiocarbonyl) in which the naturally occurring N-acetyl group of the N-acetylglucosamine monomer is replaced by various aliphatic or aromatic substituents and the L-fucose naturally present is replaced by various naturally occurring or non-naturally occurring sugars were prepared as E-selectin receptors. Thus, I (R = Me, R1 = 2-hydroxy-5-fluorophenyl, X = CO, R2 = (CH2)8CO2Me, Z = R3) was prepared and tested as E-selectin receptor (relative IC50 to an internal control is 0.039).

L5 ANSWER 25 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
MSTR 1



G1 = 34



G2 = quinolinyl (SR (1-) G14)
G7 = 96-40 97-43

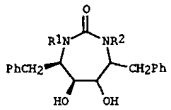
G8 = O
G9 = NH
G14 = (1-) OH
MPL: claim 1
NTE: substitution is restricted
NTE: CH2 groups at G4 may be replace oxygen, sulfur, or an imino group
NTE: also incorporates claim 32, 34, structures VII, and VIII

L5 ANSWER 26 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 126:18896 MARPAT
TITLE: preparation of cyclic urea derivatives as HIV protease inhibitors
INVENTOR(S): Jadhav, Prabhakar Kondaji
PATENT ASSIGNEE(S): E. I. Du Pont de Nemours & Co., USA
SOURCE: PCT Int. Appl., 195 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9629329	A1	19960926	WO 1996-US3426	19960313
W: AU, BR, CA, CN, CZ, EE, HU, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5683999	A	19971104	US 1996-613554	19960311
AU 9653100	A1	19961008	AU 1996-53100	19960313
EP 815108	A1	19980107	EP 1996-909680	19960313
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				

PRIORITY APPLN. INFO.: US 1995-406240 19950317
US 1996-613554 19960311
WO 1996-US3426 19960313

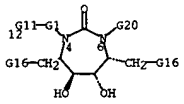
GI



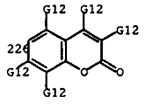
I

AB The title compds. [I; R1 = heterocyclylmethyl; R2 = H, R1], useful as HIV protease inhibitors and thus effective in treating HIV infections, are prepared and formulated. I are effective at 1.0-20 mg/kg-day p.o. Capsule, injectable, etc. formulations were given.

MSTR 1



L5 ANSWER 26 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
G5 = NH
G11 = 226



G28 = m-C6H4 (SO)
G29 = 430-426 432-406

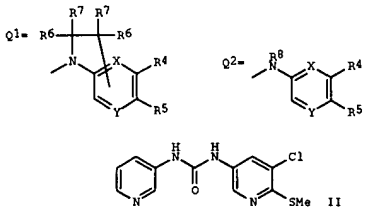
DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: additional ring formation is allowed

L5 ANSWER 27 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 125:114487 MARPAT
TITLE: CNS-Active pyridinylurea derivatives
INVENTOR(S): Forbes, Ian Thomson; Jones, Graham Elgin
PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9611930	A1	19960425	WO 1995-EP3944	19951005
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 788499	A1	19970813	EP 1995-934135	19951005
R: AT, BE, CH, DE, DK, FR, GB, IT, LI, NL, SE				
JP 10508584	T2	19980825	JP 1995-512907	19951005
US 5866586	A	19990202	US 1997-817580	19970417

PRIORITY APPLN. INFO.: GB 1994-20999 19941018
WO 1995-EP3944 19951005

GI



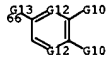
II

AB The invention relates to heterocyclic compds. R1-G-N(R2)-CO-R3 [I; G = Ph ring, quinoline or isoquinoline nucleus, or a 5- or 6-membered aromatic heterocycle containing 1-3 heteroatoms (N, O, and/or S); R1 = H, alkyl, alkylthio, cyano, NO2, halo, CF3, amino, etc.; R2 = H, alkyl; R3 = group Q1 or Q2; X = Y = N, or one of X and Y = N and the other = C or CH; R4, R5 = alkyl, alkoxy, OH, halo, NO2, (un)substituted Ph, etc.; or R4R5 forms (un)substituted 5-membered carbo- or heterocyclic ring; R6, R7, R8 = H, alkyl]. Compds. I are 5-HT2C receptor antagonists, and some or all of them are also 5-HT2B antagonists. They are useful in the treatment of a variety of CNS and GI disorders. For example, 5,6-dichloronicotinic acid underwent sulfurization in the 6-position by thiourea (87%) and S,O-dimethylation with MeI (50%) to give Me 3-chloro-2-(methylthio)pyridine-5-carboxylate. This was converted to the corresponding hydrazide (32%) and then the carbonyl azide (72%). The latter was decomposed in refluxing PhMe, and the intermediate isocyanate treated with 3-aminopyridine, to give 85% title compound II. The three example compds. had pKi of 7.4-8.1 in a test for displacement of

L5 ANSWER 27 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
[3H]-mesulergine from rat or human 5-HT2C clones, expressed in 293 cells in vitro.

MSTR 1

G1 = quinolinyl (SO (1) G2)
G2 = OH
G6 = NH
G8 = 66

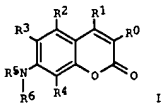


G13 = NH
DER: or salts
MPL: claim 1
NTE: additional ring formation specified

L5 ANSWER 28 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 122:118771 MARPAT
TITLE: Image-receiving element for silver salt diffusion transfer process
INVENTOR(S): Horie, Seitaro; Waki, Kokichi; Oono, Shigeru
PATENT ASSIGNEE(S): Fuji Photo Film Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 16 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06161069	A2	19940607	JP 1992-329857	19921117
PRIORITY APPLN. INFO.:			JP 1992-329857	19921117

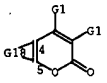
GI



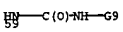
I

AB In the title image-receiving element which is used with a photog. element and a developing solution for image formation with 1 of them containing a specified 4-imidazolinethion compound, a compound [R0-4 = H, monovalent group; R5,6 = H, alkyl, aryl, heterocyclyl; R3 and R5, R5 and R6, or R6 and R4 may form a 5- or 6-membered ring] is contained in a layer which also contains a cellulose ester or regenerated cellulose. Brightness is improved.

MSTR 3

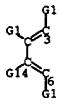


G1 = 59



G9 = Ph
G18 = 3-4 6-5

L5 ANSWER 28 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



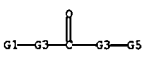
MPL: claim 1

L5 ANSWER 29 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 122:72046 MARPAT
TITLE: Medicaments for treatment of migraine, epilepsy and feeding disorders
INVENTOR(S): Blackburn, Thomas Paul; Kennett, Guy Anthony; Baxter, Gordon Smith
PATENT ASSIGNEE(S): SmithKline Beecham PLC, UK
SOURCE: PCT Int. Appl., 12 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

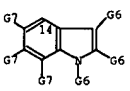
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9425012	A2	19941110	WO 1994-EP1240	19940420
WO 9425012	A3	19941222		
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KG, KP, KR, KZ, LK, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9465697	A1	19941121	AU 1994-65697	19940420
ZA 9402809	A	19951023	ZA 1994-2809	19940422
PRIORITY APPLN. INFO.:			GB 1993-8802	19930428
			WO 1994-EP1240	19940420

AB Indoles such as 1-[5-(2-thienylmethoxy)-1H-indol-3-yl]propan-2-amine are used in the treatment and prevention of epilepsy and migraine.

MSTR 1



G1 = quinolinyl (SO (1) G2)
G2 = OH
G3 = NH
G5 = 14

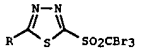


DER: or pharmaceutically acceptable salts
MPL: claim 2
NTE: substitution is restricted

L5 ANSWER 30 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 122:42827 MARPAT
TITLE: Photothermographic materials.
INVENTOR(S): Kirk, Mark P.; Mott, Andrew W.
PATENT ASSIGNEE(S): Minnesota Mining and Manufacturing Co., USA
SOURCE: Eur. Pat. Appl., 15 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 605981	A1	19940713	EP 1993-310237	19931217
EP 605981	B1	19960221		
R: BE, DE, ES, FR, GB, IT, NL				
CA 2111494	AA	19940707	CA 1993-2111494	19931215
US 5374514	A	19941220	US 1993-168994	19931217
ES 2083829	T3	19960416	ES 1993-310237	19931217
JP 07005621	A2	19950110	JP 1993-353823	19931228
CN 1089943	A	19940727	CN 1993-112729	19931229
BR 9400029	A	19940802	BR 1994-29	19940105
US 5432287	A	19950711	US 1994-296729	19940826
PRIORITY APPLN. INFO.:			GB 1993-147	19930106
			US 1993-168994	19931217

GI

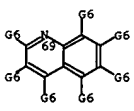


I

AB A compound is described of the formula I in which R represents a H atom, an alkyl group, an aryl group or a heterocyclic group, any of which groups may be substituted. The compds. find utility as antifoggants and image stabilizers in photothermog. materials.

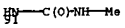
MSTR 2

G1 = 69



G6 = OH / 91

L5 ANSWER 30 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



MPL: claim 7

L5 ANSWER 31 OF 44 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 121:255671 MARPAT
TITLE: Preparation of N-phenyl-N'-heteroarylureas as 5HT2C receptor antagonists
INVENTOR(S): Forbes, Ian Thomson; Ham, Peter; Martin, Roger Thomas; Thompson, Mervyn
PATENT ASSIGNEE(S): SmithKline Beecham PLC, UK
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9418170	A1	19940818	WO 1994-EP189	19940125
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 682656	A1	19951122	EP 1994-905697	19940125
R: BE, CH, DE, FR, GB, IT, LI, NL				
JP 08506114	T2	19960702	JP 1994-517583	19940125

PRIORITY APPLN. INFO.: GB 1993-2275 19930205
WO 1994-EP189 19940125

AB R1NR2CONR3R4 [R1 = (un)substituted (iso)quinolinyl, -heteroaryl; R2,R3 = H, alkyl; R4 = (un)substituted Ph] were prepared Thus, nicotinoyl azide was refluxed in PhMe after which 3,4-ClMeC6H3NH2 was added to give, after acidification, 3,4-ClMeC6H3NHCONHR1.HCl (R1 = 3-pyridyl) which had ID50 of 78mg/kg orally against mCPP-induced hypolocomotion in rats.

MSTR 1

G1 = quinolinyl (SO (1) G2)
G2 = OH
G5 = NH
G6 = Ph (SO (1-3) G7)
DER: or salts
MPL: claim 1

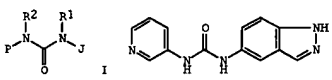
L5 ANSWER 32 OF 44 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 121:179617 MARPAT
TITLE: Heteroaryl Ureas as 5-HT2c and 5-HT2b Antagonists
INVENTOR(S): Forbes, Ian Thomson; Martin, Roger Thomas; Jones, Graham Elgin
PATENT ASSIGNEE(S): SmithKline Beecham PLC, UK
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9414801	A1	19940707	WO 1993-EP3666	19931221
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				

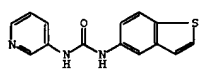
PRIORITY APPLN. INFO.: GB 1992-27048 19921229
GB 1993-4414 19930304
GB 1993-6459 19930329

GI



I

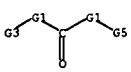
II



III

AB Heterocyclic urea derivs. I (P = quinolinyl, isoquinolinyl, heteroaryl, etc.; J = quinolinyl, tetrahydroquinolinyl, indolinyl, indazolyl, benzothienyl, etc.; R1 = H, alkyl, etc.; R2 = H, alkyl) were disclosed. I were claimed for the manufacture of antidepressants, anxiolytics, for the treatment of Alzheimer's disease, bulimia, obsessive-compulsive disorders, schizophrenia, etc. I are 5-HT2c or 5-HT2b antagonists. Specifically claimed example compds. are N-(5-Benzo[b]thienyl)-N'-(3-pyridinyl)urea (II) and N-(1-Methyl-5-indazolyl)-N'-(3-pyridinyl)urea (III).

MSTR 1



G1 = NH
G3 = quinolinyl (SO (1) G4)
G4 = OH
G5 = quinolinyl (SO (1-2) G6)
DER: or salts

L5 ANSWER 32 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

MPL: claim 1
NTE: substitution is restricted

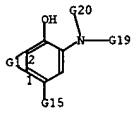
L5 ANSWER 33 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 120:231771 MARPAT
TITLE: Direct-positive color photographic material and development thereof
INVENTOR(S): Ozawa, Takashi; Ono, Michio
PATENT ASSIGNEE(S): Fuji Photo Film Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 80 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05072667	A2	19930326	JP 1991-263144	19910913

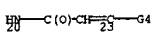
PRIORITY APPLN. INFO.: JP 1991-263144 19910913

AB The title photog. material, comprises ≥1 blue-, green-, and red-sensitive layers of internal latent imaging-type Ag halide grains which are not prefogged, wherein the red-sensitive layer(s) contains a cyan coupler I (Q = moiety needed to complete a N-containing 5-membered ring; Z = H, group capable of being released by coupling with an oxidized color developing agent; R = acyl, sulfonyl; R1 = H, C1-8 aliphatic group; R and R1 together may form a ring). The title photog. material is developed using a compound II (R2 = alkyl; R3 = alkylene; R2 and R3 together may form a ring).

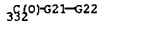
MSTR 1



G1 = 23-2 20-1



G19 = 332



G21 = NH (SO)
G22 = Hy<EC (1-) Q (0-) O (0-) N (0-) S (0-) P (0-) Se (0-) Te (0) OTHERQ> (SO)
DER: or dimers

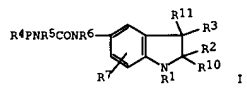
L5 ANSWER 33 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
MPL: claim 1

L5 ANSWER 34 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 120:77171 MARPAT
TITLE: Preparation of indolylurea derivatives as antagonists
INVENTOR(S): Forbes, Ian Thomson; Martin, Roger Thomas; Jones, Graham Elgin
PATENT ASSIGNEE(S): SmithKline Beecham PLC, UK
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

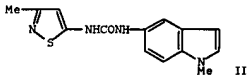
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9318028	A1	19930916	WO 1993-GB449	19930304
W: AU, CA, JP, KR, NZ, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9336411	A1	19931005	AU 1993-36411	19930304
EP 630373	A1	19941228	EP 1993-905507	19930304
R: BE, CH, DE, FR, GB, IT, LI, NL				
JP 07504429	T2	19950518	JP 1993-515449	19930304
ZA 9301713	A	19940922	ZA 1993-1713	19930310
US 5508288	A	19960416	US 1994-295694	19940830

PRIORITY APPLN. INFO.: GB 1992-5415 19920312
GB 1992-5416 19920312
GB 1992-5422 19920312
GB 1992-5442 19920312
WO 1993-GB449 19930304

GI



I

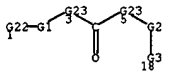


II

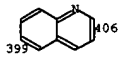
AB Title compds. I (P = quinolinyl, isoquinolyl, 5,6-membered heterocyclyl; R1 = H, C1-6 alkyl; R2, R3, R10, R11 = C2-6 alkylene; R4 = H, C1-6 alkyl, halo, R8R9N, R12O, R12O2C wherein R8, R9, R12 = H, C1-6 alkyl; R5, R6 = H, C1-6 alkyl; R7 = H, C1-6 alkyl, C1-6 alkoxy, halo; etc.) or a salt thereof, are prepared to NaH was added 5-amino-3-methylbisthiazole-HCl followed by N-(1-methyl-5-indolyl)carbamate (preparation given) to give the title compound II. The affinity of II for 5-HT1C binding site by assessing its ability to displace [3H]-mesulergine from 5-HT1C binding sites was shown by pA2 as 7.9.

MSTR 1A

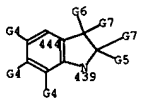
L5 ANSWER 34 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G1 = 406-1 399-3



G2 = 444-5 439-18

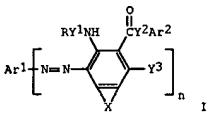


G22 = OH
G23 = NH
DER: or salts or N-oxides
MPL: claim 1

L5 ANSWER 35 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 118:201976 MARPAT
TITLE: Azo compound and photoconductor therefrom
INVENTOR(S): Ito, Naoto; Oguchi, Takahisa; Karasawa, Akio
PATENT ASSIGNEE(S): Mitsui Toatsu Chemicals, Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04225068	A2	19920814	JP 1990-414697	19901227
JP 2979024	B2	19991115		
PRIORITY APPLN. INFO.:			JP 1990-414697	19901227

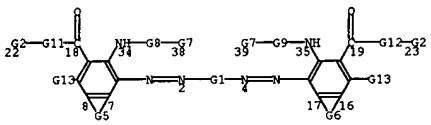
GI



I

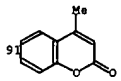
AB An azo compound is represented by I [Ar1 = 2-4-valent bonding moiety; Ar2 = aromatic hydrocarbyl, aromatic heterocyclyl, CONHR', CSNHR', NHR' (R' = aromatic hydrocarbyl); X = atoms required for forming an aromatic hydrocarbon ring; R = alkyl, aromatic hydrocarbyl, aromatic heterocyclyl; Y1 = CO, COO, CONH; Y2 = NH, NHCONH, NHCSNH, NHNH; Y3 = H, OH; and n = 2-4]. A photoconductor useful for an electrophotog. photoreceptor contains I as a charge-generating substance.

MSTR 1A



G2 = 91

L5 ANSWER 35 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



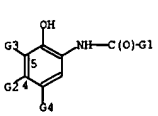
G11 = NHCONH
MPL: claim 1

L5 ANSWER 36 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 117:121431 MARPAT
TITLE: Method of processing silver halide color photographic material
INVENTOR(S): Goto, Masatoshi; Ishikawa, Takatoshi
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 68 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

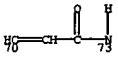
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 479262	A1	19920408	EP 1991-116803	19911001
EP 479262	B1	19970813		
R: BE, DE, FR, GB, IT, NL				
JP 04362944	A2	19921215	JP 1991-255567	19911002
JP 3049869	B2	20000605		
US 5342740	A	19940830	US 1991-769684	19911002
PRIORITY APPLN. INFO.:			JP 1990-264451	19901002

AB A method of processing a color photog. material, containing photosensitive Ag halide emulsion layer containing a AgCl content of ≥80 mol% comprises the steps of color developing the photog. material and then bleach-fixing which replenishing the bleach-fixing solution as the photog. material is processed by adding a regenerated bleach-fixing replenisher and collecting the resulting overflow solution from the bleach-fixing tank. The regenerated bleach-fixing replenisher comprises a regenerating agent and the overflow solution from the bleach-fixing tank, and the solids content of the regenerating agent is ≥70 wt% of the total weight of the regenerating agent. Repeated reuse of the used bleach-fixing solution as a replenisher is achieved without adversely affecting the desilvering property and color reproducibility of the processing solution The method provides excellent photog. images having good storage stability. Preferred cyan coupler to be used with the method is also described with a Markush structure.

MSTR 1



G1 = NHPh (SO)
G2 +G3 = 73-4 70-5

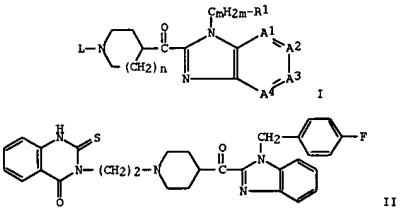


L5 ANSWER 36 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
MPL: claim 17

L5 ANSWER 37 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 117:111638 MARPAT
TITLE: Preparation of piperidinyl benzimidazolyl ketones and related compounds as antihistaminics
INVENTOR(S): Janssens, Frans Eduard; Diels, Gaston Stanislas Marcell; Sommen, Francois Maria
PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9206086	A1	19920416	WO 1991-EP1782	19910917
W: AU, BB, BG, BR, CA, FI, HU, JP, KP, KR, LK, MC, MG, MW, NO, PL, RO, SD, SU, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
AU 9185067	A1	19920428	AU 1991-85067	19910917
PRIORITY APPLN. INFO.:			US 1990-590716	19901001
			WO 1991-EP1782	19910917

GI



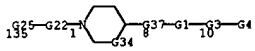
I

II

AB The title compds. [I; A1:A2A3:A4 = (un)substituted CH:CHCH:CH, N:CHCH:CH, N:CHN:CH, etc.; m = 1-4; n = 0-2; R1 = aryl, DR2; D = O, S; R2 = (un)substituted C1-6 alkyl; L = H, C1-12 alkyl(carbonyl), C3-6 cycloalkyl, (aryl)C3-6 alkenyl, Alk-R3, Alk-YR4, etc.; R3 = cyano, aryl, heterocyclyl; R4 = H, aryl, heterocyclyl, (un)substituted C1-6 alkyl; Alk = C1-6 alkylene; Y = O, S, NR7; R7 = H, C1-6 alkyl(carbonyl)] or their stereoisomers and pharmaceutically acceptable acid addition salts, effective antihistaminics (no data) useful in the treatment of, e.g., allergic rhinitis, conjunctivitis, asthma, and chronic urticaria, were prepared A solution of 2-MeO2CC6H4NCS in THF was added dropwise to a stirred mixture of 1-(2-aminoethyl)-4-piperidinyl 1-[(4-fluorophenyl)methyl]-1H-benzimidazol-2-yl ketone (preparation given) and THF and the whole stirred for 2 h at the

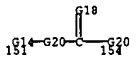
L5 ANSWER 37 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
ambient temp. to give title compd. II.

MSTR 1B

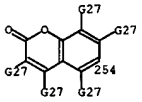


G17 = alkyl<(1-6)>
G18 = O
G20 = 123

G22 = 151-1 154-135



G25 = 254

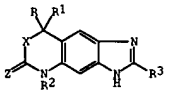


DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted
NTE: also incorporates claim 8
STE: or isomeric forms

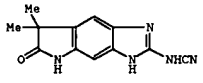
L5 ANSWER 38 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 116:235629 MARPAT
TITLE: New pyrrolobenzimidazoles, imidazobenzoxazinones and imidazoquinolones
INVENTOR(S): Paal, Michael; Stenzel, Wolfgang; Brueckner, Reinhard; Armah, Ben Dr
PATENT ASSIGNEE(S): Beiersdorf A.-G., Germany
SOURCE: Ger. Offen., 16 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4027592	A1	19920305	DE 1990-4027592	19900831
EP 473963	A1	19920311	EP 1991-113388	19910809
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE				
ZA 9106433	A	19920527	ZA 1991-6433	19910814
AU 9182515	A1	19920305	AU 1991-82515	19910815
CA 2049490	AA	19920301	CA 1991-2049490	19910819
JP 04247083	A2	19920903	JP 1991-238822	19910827
US 5212186	A	19930518	US 1991-750372	19910827
PRIORITY APPLN. INFO.:			DE 1990-4027592	19900831

GI



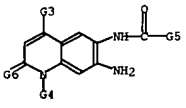
I



II

AB Title compds. I (X = bond, CH2, O; XR = CH; Z = O, S; R, R1 = H, aliphatic; R2 = H, alkyl; R3 = NHCN, 4-difluoromethoxy-3-pyridyl, CH2NO2, CH2CH2NO2) were prepared Thus, 5,6-diamino-3,3-dimethylindolin-2-one was treated with NCN:C(OPh)2 to give 44% pyrrolobenzimidazolone II. At 1 mg/kg i.v. in cats II increased cardiac contractility by 67%, increased heart rate by 8 units and decreased arterial pressure by 15 units.

MSTR 5B



G5 = 22

L5 ANSWER 38 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

G6 = O
MPL: claim 7

L5 ANSWER 39 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 116:106795 MARPAT
TITLE: Preparation of fluorogenic tryptophanase substrates
INVENTOR(S): Mize, Patrick D.
PATENT ASSIGNEE(S): Becton, Dickinson and Co., USA
SOURCE: U.S., 5 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

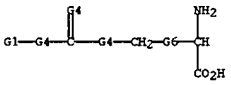
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5055594	A	19911008	US 1990-554506	19900719
CA 2043124	AA	19920120	CA 1991-2043124	19910527
CA 2043124	C	19951212		
AU 9178026	A1	19920123	AU 1991-78026	19910529
AU 631102	B2	19921112		
NO 9102413	A	19920120	NO 1991-2413	19910620
NO 302243	B1	19980209		
EP 467318	A1	19920122	EP 1991-111918	19910717
EP 467318	B1	19950426		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AT 121791	E	19950515	AT 1991-111918	19910717
FI 9103460	A	19920120	FI 1991-3460	19910718
FI 97152	B	19960715		
FI 97152	C	19961025		
JP 04229199	A2	19920818	JP 1991-178285	19910718
JP 06071438	B4	19940914		

PRIORITY APPLN. INFO.: US 1990-554506 19900719

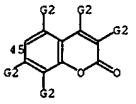
AB A fluorescent dye-linked amino acid AXC(O)YCH2(CH:CH)nCH(NH2)CO2H (A = fluorescent dye moiety selected from a coumarin, fluorescein, rhodamine, and β-naphthylamine; Y = O, S; X = O, NH; n = 0,1), useful as a fluorogenic substrate for tryptophanase in identifying an unknown microorganism, i.e. the indole classification of microorganisms such as Enterobacteriaceae species, is prepared Thus, refluxing 2.0g 7-amino-4-methylcoumarin (I) with a 20% COCl2 solution in PhMe gave 87% 4-methyl-7-isocyanocoumarin which (0.84g) was reacted with 1.16g.Me3SiCH2CH2O2C-Ser-OLi in THF to give, after treatment with CF3CO2H, a carbamate (II). When 100 μL a 5.0 mg/mL solution of tryptophanase was added to a 1.19 + 10-6 M solution of I in 10mM K phosphate buffer, fluorescence measured at 440 nm increased at 97 units/min due to release of I. Inoculation of II impregnated in cellulose disks with a suspension of the known indole pos. microorganisms Escherichia coli and Citrobacter diversus showed much larger fluorescence increase compared to that of the known indole neg. microorganisms Klebsiella pneumoniae and Citrobacter freundii.

MSTR 1

L5 ANSWER 39 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G1 = 45



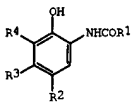
G4 = O / NH
MPL: claim 5

L5 ANSWER 40 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 115:60758 MARPAT
TITLE: Method for processing silver halide color photographic material
INVENTOR(S): Ishikawa, Takatoshi; Ueda, Shinji
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 56 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 409276	A1	19910123	EP 1990-113977	19900720
EP 409276	B1	19970319		
R: BE, DE, FR, GB, IT, NL				
JP 03121451	A2	19910523	JP 1990-190742	19900720
US 5139929	A	19920818	US 1990-555016	19900720

PRIORITY APPLN. INFO.: JP 1989-187475 19890721

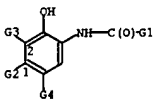
GI



I

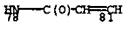
AB A method for processing an exposed Ag halide material containing ≥1 cyan coupler having the general formula I (R1 = alkyl, cycloalkyl, aryl, amino, or a heterocyclic group; R1 = H, halogen, or a coupling-off group; R3 = acylamino or alkyl having ≥2 C atoms; R4 = H, halogen, alkyl, or alkoxy; R3 and R4 = H, halogen, alkyl, or alkoxy; R3 and R4 may be linked to form a ring) comprises the steps of: (a) color developing; (b) bleach-fixing; (c) washing; (d) stabilizing; (e) regenerating a portion of the solution used in the bleach-fixing step to form a replenisher solution comprising ≥1 carbonyl bisulfite adduct; and (f) replenishing the bleach-fixing solution with the replenisher solution The method does not cause desilvering problem and hardly deteriorates image preservation, even when the bleach-fixing solution, in which the spent solution (overflow) is added as a replenisher, is repeatedly used.

MSTR 1



L5 ANSWER 40 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

G1 = NHPh (SO)
G2 +G3 = 78-1 81-2

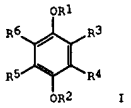


MPL: claim 19

L5 ANSWER 41 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 115:60716 MARPAT
TITLE: Silver halide color photographic material
INVENTOR(S): Ogawa, Tadashi
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 137 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 371325	A1	19900606	EP 1989-121154	19891115
EP 371325	B1	19970212		
R: DE, FR, GB, IT, NL				
JP 02135339	A2	19900524	JP 1988-289704	19881116
JP 07111565	B4	19951129		
US 5405735	A	19950411	US 1993-123043	19930920
PRIORITY APPLN. INFO.:			JP 1988-289704	19881116
			US 1989-436860	19891115
			US 1991-758545	19910909
			US 1992-921362	19920728

GI

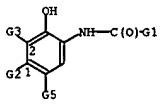


I

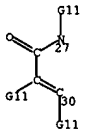
AB A multilayer color photog. material contains a magenta coupler from a 2-equivalent 5-pyrazolone or pyrazoloazole compound and a nonphotosensitive layer containing a compound having the formula I (R1, R2 = H or a precursor which is cleaved under alkaline conditions to form a H atom; R1 and R3 and/or R2 and R4 may be combined to form a closed ring by bonding OR1 with R3 and/or OR2 with R4, resp., to form -OCOCH2CH2-; R3-6 = H, halogen, alkenyl, aryl, cycloalkyl, etc., R1 and R2 must not be H atoms at the same time) at 2.75 + 10-4-1.5 + 10-3 mol/m2. The nonphotosensitive layer is provided between the yellow coupler- and magenta coupler-containing Ag halide emulsion layers. The material has excellent color reproducibility and rapid processability and produces images of improved storage stability.

MSTR 5

L5 ANSWER 41 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G1 = NH2 (SO (1-) G6)
G6 = Ph
G2 +G3 = 27-1 30-2 / 30-1 27-2

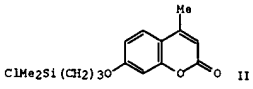


DER: and dimers or higher polymers
MPL: claim 10

L5 ANSWER 42 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 113:115556 MARPAT
TITLE: Chromogenic and fluorogenic silylalkylcoumarins
INVENTOR(S): Arkles, Barry C.
PATENT ASSIGNEE(S): Huels America, Inc., USA
SOURCE: U.S., 4 pp. Cont.-in-part of U.S. Ser. No. 631,036, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4918200	A	19900417	US 1987-4713	19870120
PRIORITY APPLN. INFO.:			US 1984-631036	19840716
OTHER SOURCE(S):		CASREACT 113:115556		

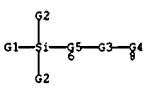
GI



II

AB RyR1z Si(CH2)nLR2 [I; R = halo, alkoxy, Me2N; R1 = alkyl, Ph; L = O, NCO2 NCON; R2 = (substituted) coumaryl; n = 1-8; y = 1-3; Z = 0-2; y + z =3], useful for derivatization of protic materials, were prepared Thus, 4-methyl-7-allyloxycoumarin (preparation given) Me2ClSiH, and H2PtCl6 (0.1 min THF) in PhMe was heated to 140° at 40 psi for 15 h to give chlorosilane II.

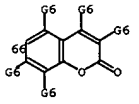
MSTR 1



G3 = 12-6 14-8

G4 = 66

L5 ANSWER 42 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G5 = (1-8) CH2
MPL: claim 1

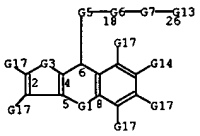
L5 ANSWER 43 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 111:174009 MARPAT
TITLE: Preparation and formulation of dihydrodibenzoxepins and analogs as thromboxane A2 antagonists
INVENTOR(S): Oshima, Etsuo; Obase, Hiroyuki; Karasawa, Akira; Kubo, Kazuhiro; Miki, Ichiro; Ishii, Akio
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 107 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 312051	A2	19890419	EP 1988-117024	19881013
EP 312051	A3	19900704		
EP 312051	B1	19940817		
R: DE, FR, GB, IT				
JP 02000250	A2	19900105	JP 1988-224052	19880907
US 4882351	A	19891121	US 1988-255485	19881011
US 5010104	A	19910423	US 1989-372771	19890629
US 5010087	A	19910423	US 1989-381330	19890718
PRIORITY APPLN. INFO.:			JP 1987-259145	19871014
			US 1988-255485	19881011

OTHER SOURCE(S): CASREACT 111:174009
GI For diagram(s), see printed CA Issue.
AB The title compds. I [X1X2 = CH2O, CH2SO1, CH2CH2, etc.; l = 0-2; L = CH:CH, S; dotted line represents either single or double bond; W = S, O, NH, CH2, NHCO, etc.; n = 0-3; Z = NR1CO, NR1SO2, NR1CONH, etc.; R1 = H, lower alkyl; Q = C1-18 alkyl, C3-6 alicyclic alkyl, C2-6 alkenyl, etc.; one of RA and RB is H, the other is YM; Y = single bond, CR3R4(CH2)m, etc.; R3, R4 = H, lower alkyl; m = 0-4; M = CO2R5, tetrazolyl, etc.; R5 = H, lower alkyl; GA, GB = lower alkyl, halo, etc.; gA, gB = 0-3], were prepared Reaction of Me 11-(2-aminoethyl)thio-6,11-dihydrodibenz[b,e]oxepin-2-carboxylate with PhSO2Cl, followed by saponification, gave 11-[2-[(phenylsulfonyl)amino]ethyl]thio-6,11-dihydrodibenz[b,e]oxepin-2-carboxylic acid (II). II in vitro exhibited a min. effective concentration of 0.3 μg/mL against platelet aggregation induced by 9,11-dideoxy-9α,11-dideoxy-9α,11a-methanoepoxyprostaglandin F2α. Tablets containing II 200, lactose 60, starch 30, polyvinyl alc. 2, Mg stearate 1 mg and tar pigment (trace) were prepared

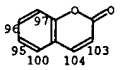
MSTR 1B

L5 ANSWER 43 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G5 = CH2
G7 = 30-18 32-26

G9 = NH
G12 = O
G13 = 95 / 96 / 97 / 100 / 103 / 104



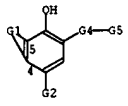
DER: and pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted

L5 ANSWER 44 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 109:201292 MARPAT
TITLE: Silver halide color photographic material containing cyan couplers with improved light and heat resistance
INVENTOR(S): Morigaki, Masakazu; Aoki, Kozo
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 39 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

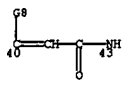
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63085547	A2	19880416	JP 1986-230854	19860929
US 4929538	A	19900529	US 1987-102511	19870929
US 5178991	A	19930112	US 1991-638031	19910107
PRIORITY APPLN. INFO.:			JP 1986-230854	19860929
			US 1987-102511	19870929
			US 1989-325425	19890317

OTHER SOURCE(S): CASREACT 109:201292
GI For diagram(s), see printed CA Issue.
AB The title material contains ≥1 cyan couplers represented by (I) (Q1 = moiety necessary to form N- and C-containing ≥5 membered ring; Z1 = H, moiety capable of being released through reaction with an oxidation product of a color developing agent; R1 = acyl, sulfonyl; R2 = H, C≤8 aliph; and R1, R2, A1, and Q1 may form a dimer or polymer coupler), and ≥1 compds. represented by (II) and (III) (,R3 = H, aliphatic, aromatic, heterocyclyl, protective moiety capable of hydrolysis; R4-R8 = H, substituent; R9 = H, aliphatic, acyl, sulfonyl, sulfinyl, oxy radical, OH; A = nonmetal moiety necessary to form 5-7 membered ring; R10-R13 = H, alkyl; R3-R8 may form 5-7-membered ring by linking with neighboring moiety at o-position; and R9-R13 may form 5-7-membered ring by linking with neighboring moiety at o-position). Cyan couplers in this material have improved light and heat resistance.

MSTR 1

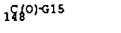


G1 = 40-5 43-4

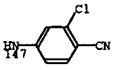


G4 = NH
G5 = 148

L5 ANSWER 44 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G15 = 147



DER: or dimer or trimer
MPL: claims